=> fil reg FILE 'REGISTRY' ENTERED AT 09:13:42 ON 05 MAY 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 MAY 2003 HIGHEST RN 509953-09-7 DICTIONARY FILE UPDATES: 2 MAY 2003 HIGHEST RN 509953-09-7

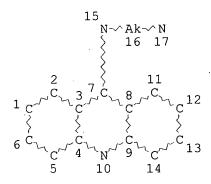
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d sta que 110 L1 STR



NODE ATTRIBUTES:
CONNECT IS E2 RC AT 16
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

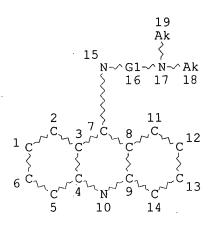
GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L3 2001 SEA FILE=REGISTRY SSS FUL L1

L4 STR

Jan Delaval Reference Librarian Biotechnology & Chemical Library CM1 1E07 – 703-308-4498 jan.delaval@uspto.gov



REP G1=(3-3) CH2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 1

NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L6 13 SEA FILE=REGISTRY SUB=L3 CSS FUL L4

L7 3 SEA FILE=REGISTRY ABB=ON PLU=ON L6 AND C20H25N3

L8 1794 SEA FILE=REGISTRY ABB=ON PLU=ON 2508.108.26/RID AND L3

L9 3 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L6 NOT L7

L10 6 SEA FILE=REGISTRY ABB=ON PLU=ON (L7 OR L9)

=> d ide can tot 110

L10 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 110166-25-1 REGISTRY

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-diethyl-, hydrochloride (9CI) (CA INDEX NAME)

MF C20 H25 N3 . x Cl H

SR CA

LC STN Files: BEILSTEIN\*, CA, CAPLUS

(\*File contains numerically searchable property data)

CRN (55468-73-0)

●x HCl

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 107:108837

L10 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 55468-73-0 REGISTRY

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-diethyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 9-[(3-Diethylaminopropyl)amino]acridine

MF C20 H25 N3

CI COM

LC STN Files: BEILSTEIN\*, CA, CAPLUS, TOXCENTER, USPATFULL (\*File contains numerically searchable property data)

NH-(CH<sub>2</sub>)<sub>3</sub>-NEt<sub>2</sub>

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

6 REFERENCES IN FILE CA (1957 TO DATE)

6 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:232569

REFERENCE 2: 123:132316

REFERENCE 3: 107:108837

RÉFERENCE 4: 101:34661

REFERENCE 5: 83:22906

REFERENCE 6: 83:1516

L10 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 24431-10-5 REGISTRY

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-, hydrochloride (8CI)

MF C18 H21 N3 .  $\times$  Cl H

LC STN Files: CA, CAPLUS, TOXCENTER

CRN (13365-37-2)

# ●x HCl

- 2 REFERENCES IN FILE CA (1957 TO DATE)
- 2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 107:108837

REFERENCE 2: 71:12218

L10 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 23159-13-9 REGISTRY

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-diethyl-, dihydrochloride (9CI)

(CA INDEX NAME)
OTHER CA INDEX NAMES:

CN Acridine, 9-[[3-(diethylamino)propyl]amino]-, dihydrochloride (8CI)

OTHER NAMES:

CN C 494

MF C20 H25 N3 . 2 C1 H

LC STN Files: BEILSTEIN\*, CA, CAPLUS, TOXCENTER

(\*File contains numerically searchable property data)

CRN (55468-73-0)

#### ●2 HCl

9 REFERENCES IN FILE CA (1957 TO DATE)
9 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 103:100552

REFERENCE 2: 94:169667

REFERENCE 3: 94:1490

REFERENCE 4: 85:72040

REFERENCE 5: 83:1340

REFERENCE 6: 71:61178

REFERENCE 7: 44:51206

REFERENCE 8: 44:51205

REFERENCE 9: 41:8178

L10 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 13365-37-2 REGISTRY

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]- (8CI) OTHER NAMES:

CN 9-(3'-Dimethylaminopropylamino)acridine

CN 9-[[3-(Dimethylamino)propyl]amino]acridine

DR 23002-08-6

MF C18 H21 N3

CI COM

LC STN Files: BEILSTEIN\*, BIOSIS, CA, CANCERLIT, CAPLUS, CASREACT, MEDLINE, RTECS\*, TOXCENTER, USPATFULL (\*File contains numerically searchable property data)

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

33 REFERENCES IN FILE CA (1957 TO DATE)

33 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 135:189694

REFERENCE 2: 133:344161

REFERENCE 3: 133:26844

REFERENCE 4: 129:170225

REFERENCE 5: 127:325947

REFERENCE 6: 126:115559

REFERENCE 7: 121:124653

REFERENCE 8: 116:101822

REFERENCE 9: 114:2729

REFERENCE 10: 113:168105

L10 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2003 ACS

RN 1092-03-1 REGISTRY.

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-, dihydrochloride (7CI, 8CI) OTHER NAMES:

CN C 137

MF C18 H21 N3 . 2 Cl H

LC STN Files: CA, CAOLD, CAPLUS, RTECS\*, TOXCENTER

(\*File contains numerically searchable property data)

CRN (13365-37-2)

### ●2 HCl

7 REFERENCES IN FILE CA (1957 TO DATE)

7 REFERENCES IN FILE CAPLUS (1957 TO DATE)

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 110:33326

REFERENCE 2: 93:62303

REFERENCE 3: 87:34513

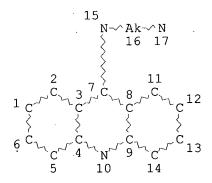
REFERENCE 4: 84:69240

REFERENCE 5: 84:53851

REFERENCE 6: 62:2982

REFERENCE 7: 62:2981

=> d sta que 116



NODE ATTRIBUTES: CONNECT IS E2 RC AT 16 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 1

NUMBER OF NODES IS 17

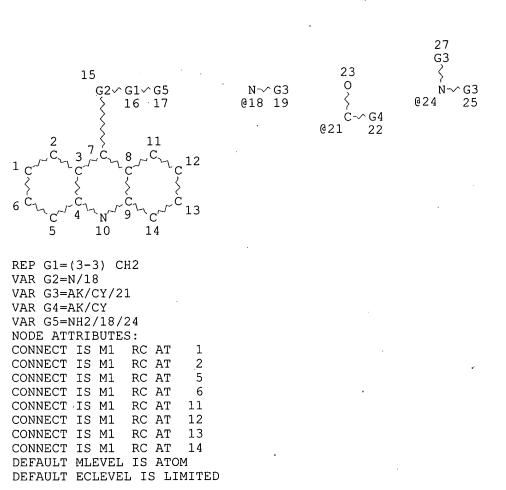
STEREO ATTRIBUTES: NONE

L3 2001 SEA FILE=REGISTRY SSS FUL L1

L8 1794 SEA FILE=REGISTRY ABB=ON PLU=ON 2508.108.26/RID AND L3

L11 STR

O√Ak @31 32



### GRAPH ATTRIBUTES:

RSPEC 1

NUMBER OF NODES IS 25

#### STEREO ATTRIBUTES: NONE

L13 248 SEA FILE=REGISTRY SUB=L8 CSS FUL L11 L14 STR

30 27 23 G6 0 G3  $N \sim G3$ @18 19  $N \sim G3$  $G6 \sim Hy \sim G2 \sim G1 \sim G5$ C-\sigma G4 @21 024 25 29 28 15 16 17 22

REP G1=(3-3) CH2
VAR G2=N/18
VAR G3=AK/CY/21
VAR G4=AK/CY
VAR G5=NH2/18/24
VAR G6=H/X/OH/AK/31/NH2/18/24/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY AT 28
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E13 C E1 N AT 28

#### GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

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STEREO ATTRIBUTES: NONE
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L16
                                                            125 ANSWERS
100.0% PROCESSED
                  248 ITERATIONS
SEARCH TIME: 00.00.01
=> d his
     (FILE 'HOME' ENTERED AT 08:40:40 ON 05 MAY 2003)
                SET COST OFF
     FILE 'REGISTRY' ENTERED AT 08:40:55 ON 05 MAY 2003
L1
               STR
             50 S L1
L2
L3
           2001 S L1 FUL
                SAV L3 KWON082/A
                STR L1
              1 S L4 CSS SAM SUB=L3
L5
             13 S L4 CSS FUL SUB=L3
L6
                SAV L6 KWON082A/A
              3 S L6 AND C20H25N3
L7
           1794 S 2508.108.26/RID AND L3
L8
              3 S L8 AND L6 NOT L7
L9
              6 S L7, L9
L10
L11
                STR L1
L12
              9 S L11 CSS SAM SUB=L8
            248 S L11 CSS FUL SUB=L8
L13
                SAV L13 KWON082B/A
                STR L11
L14
              8 S L14 CSS SAM SUB=L13
L15
            125 S L14 CSS FUL SUB=L13
L16
                SAV L16 KWON082C/A
            119 S L16 NOT L10
L17
     FILE 'HCAOLD' ENTERED AT 08:50:03 ON 05 MAY 2003
L18
             1 S L10
             17 S L17
L19
                SEL AN
                EDIT /AN /OREF
     FILE 'HCAPLUS' ENTERED AT 08:51:22 ON 05 MAY 2003
L20
             33 S E1-E17
                SEL DN 2 4 6 8 10 13 15 17 19 21 23 25 27 29 33
L21
             18 S L20 NOT E18-E32
                SEL DN 11 18
             16 S L21 NOT E33-E34
L22
L23
             56 S L10
             0 S L22 AND L23
L24
             97 S L17
L25
L26
            143 S L23, L25
                E E VILLAR H/AU
                E VILLAR H/AU
L27
            111 S E3, E5, E12, E14
                E LABORDE E/AU
L28
             48 S E3-E7
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E LA BORDE E/AU E US20020169183/PN

E US2001-274535/AP, PRN

1 S E3

1 S E5

L29

L30

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L31
              1 S L26 AND L27-L30
                E TELIK/PA,CS
             35 S E3-E9
L32
              1 S L26 AND L32
L33
L34
              1 S L31, L33
                E FAS/CT
                E E4+ALL
L35
           5492 S E7, E6
                E E21+ALL
L36
           3287 S E5, E4
                E E15+ALL
L37
          49327 S E5,E4
                E E3+ALL
          55816 S E3-E7
L38
L39
              1 S L26 AND L35-L38
                E FAS/CW
L40
              1 S E3 AND L26
                E HYPERPLAS/CT
            737 S E4-E22
L41
                E E4+ALL
           1166 S E2+NT
L42
                E AUTOIMMUN/CT
                E E47+ALL
           1631 S E2
L43
                E AUTOIMMUN/CT
                E E8+ALL
L44
          24179 S E3, E2+NT
L45
              1 S L26 AND L41-L44
L46
              1 S L34, L39, L40, L45
L47
              2 S L26 AND ?HYPERPLAS?
              1 S L26 AND ?AUTOIMMUN?
L48
              0 S L26 AND ?AUTO IMMUN?
L49
L50
              3 S L26 AND ?IMMUN?
L51
              1 S L26 AND FAS
              0 S L26 AND CD95
L52
L53
              1 S L26 AND ?APOPTO?
L54
              4 S L46-L48, L50, L51, L53
L55
             67 S L26 AND (?NEOPLAS? OR ?TUMOR? OR ?TUMOUR? OR ?MALIGNAN? OR ?C
                E AUTOIMMUNE LYMPHOPROLIFERAT/CT
                E LYMPHOPROLIFERAT/CT
                E E6+ALL
L56
          16195 S E5+NT
                E AUTOIMMUNE THYROID/CT
                E E4+ALL
L57
           1153 S E2
                E HYPEREOSINOPHIL/CT
                E E4+ALL
                E E2+ALL
L58
            783 S E3+NT
                E THYROID DISEASE/CT
                E E4+ALL
                E E2+ALL
L59
          18741 S E4, E5, E3+NT
L60
          27099 S E33+NT
          25405 S AUTOIMMUN?(L)(LYMPH? OR THYROID?) OR ?EOSINOPHIL?
L61
L62
              0 S L26 AND L56-L61
L63
              3 S L54 AND L55
L64
              4 S L54, L63
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FILE 'REGISTRY' ENTERED AT 09:13:42 ON 05 MAY 2003

=> fil hcaplus FILE 'HCAPLUS' ENTERED AT 09:14:17 ON 05 MAY 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 5 May 2003 VOL 138 ISS 19 FILE LAST UPDATED: 4 May 2003 (20030504/ED)

biol. activity of I were given.

This file contains CAS Registry Numbers for easy and accurate substance identification.

#### => d 164 all hitstr tot

```
ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2003 ACS
     2002:716087 HCAPLUS
ΑN
DN
     137:232569
ΤI
     Preparation of acridinylpropanediaminess as stimulators of Fas
     -mediated apoptosis
     Villar, Hugo O.; Laborde, Edgardo
TN
     Telik, Inc., USA
PA
     PCT Int. Appl., 38 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
     ICM A61K031-473
IC
     ICS A61P037-06
     27-18 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 1
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO.
                                                            DATE
                      _____
                                          _____
                                                           _____
                     A1 20020919
                                          WO 2002-US7031 20020307 <--
PΙ
     WO 2002072096
            AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES,
             FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
             MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK,
             SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM,
             AZ, BY, KG, KZ
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2002169183
                                          US 2002-82801
                      Α1
                            20021114
                                                            20020222 <--
PRAI US 2001-274535P
                            20010308
OS
     MARPAT 137:232569
     R2R3N(CH2)3NR4R5 [R2 = (un)substituted 9-acridinyl; R3-R5 = H, alkyl,
AΒ
     alkanoyl, aryl, etc.] were prepd. Thus, 9-chloroacridine was aminated by
```

H2N(CH2)3NEt2 to give R2NH(CH2)3NEt2 (I; R2 = 9-acridinyl). Data for

acridinylpropanediamine prepn Fas mediated apoptosis

stimulator; autoimmune disease acridinylpropanediamine prepn treatment; hyperplasia acridinylpropanediamine prepn treatment

#### IT Apoptosis

Human

(prepn. of acridinylpropanediaminess as stimulators of Fas-mediated apoptosis)

IT Fas antigen

RL: BSU (Biological study, unclassified); BIOL (Biological study) (prepn. of acridinylpropanediaminess as stimulators of Fas -mediated apoptosis)

IT Autoimmune disease

Hyperplasia

(treatment; prepn. of acridinylpropanediaminess as stimulators of Fas-mediated apoptosis)

55468-73-0P, 9-[(3-Diethylaminopropyl)amino]acridine
459124-12-0P, 9-[(3-Acetylaminopropyl)(benzoyl)amino]acridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of acridinylpropanediaminess as stimulators of **Fas** -mediated **apoptosis**)

IT 104-78-9, N,N-Diethyl-1,3-propanediamine 1207-69-8, 9-Chloroacridine 172422-05-8, 9-[(3-Aminopropyl)amino]acridine

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of acridinylpropanediaminess as stimulators of Fas
-mediated apoptosis)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD RE

(1) Chotkowska, E; ARCH IMMUNOL THER EXP 1972, V20(2), P289 HCAPLUS

(2) Piestrzeniewicz, M; ZEITSCHRIFT FUER NATURFORSCHUNG, C: BIOSCIENCES 1998, V53(5/6), P359 HCAPLUS

(3) Radzikowski, C; ARCH IMMUNOL THER EXP 1969, V17(1), P86 HCAPLUS

(4) Radzikowski, C; INT CONGR CHEMOTHER, PROC, 5TH 1967, V2(1), P263 HCAPLUS

(5) Univ Iowa Res Found; WO 0076982 A 2000 HCAPLUS

(6) Wysocka-Skrzela, B; POL J CHEM 1981, V55(7-8), P1735 HCAPLUS

IT 55468-73-0P, 9-[(3-Diethylaminopropyl)amino]acridine
459124-12-0P, 9-[(3-Acetylaminopropyl)(benzoyl)amino]acridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of acridinylpropanediaminess as stimulators of Fas
-mediated apoptosis)

RN 55468-73-0 HCAPLUS

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 459124-12-0 HCAPLUS

CN Benzamide, N-[3-(acetylamino)propyl]-N-9-acridinyl- (9CI) (CA INDEX NAME)

RN 172422-05-8 HCAPLUS

CN 1,3-Propanediamine, N-9-acridinyl- (9CI) (CA INDEX NAME).

L64 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2003 ACS

AN 1995:694359 HCAPLUS

DN 123:132316

TI Immunomodulating effect of acridine tautomers on eukaryotic cells

AU Petri, Ildiko B.; Berek, I.; Galy, Anne-Marie; Barbe, J.; Berek, Livia; Molnar, J.

CS Medical School, Albert Szent-Gyorgyi University, Szeged, H-6720, Hung.

SO Acta Microbiologica et Immunologica Hungarica (1995), 42(2), 203-8 CODEN: AMIHEF; ISSN: 1217-8950

PB Akademiai Kiado

DT Journal

LA English

CC 1-7 (Pharmacology)

AB The immunomodulating effect of some new amino- and imino-acridine derivs., were investigated on antibody dependent cellular cytotoxicity (ADCC) and induced-blast transformation of lymphocytes. In different concns. (2.0.times.10-6 M, 4.0.times.10-8 M and 2.0.times.10-5 M) the drugs produced a suppression of PHA- and ConA-induced cell proliferative response except in the case of . 2b, 2d and 2g amino-acridines. The suppressive effects were dose dependent and exhibited a higher inhibitory level in the case of imino-acridines. Some drugs at low concn. exerted a little enhancing effect on ADCC reaction.

ST Immunomodulator acridine tautomer eukaryotic cell

IT Cell proliferation

Cytotoxic agents

Eukaryote

Immunomodulators

Lymphocyte

(immunomodulating effect of acridine tautomers on eukaryotic cells)

IT 260-94-6D, Acridine, tautomers 13365-36-1 55468-73-0

69530-83-2 74054-21-0 74054-22-1 80129-88-0 94129-62-1, 9-Ethylaminoacridine 110166-23-9 110166-24-0 111782-81-1

111782-82-2 111782-83-3 163589-29-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(immunomodulating effect of acridine tautomers on eukaryotic cells)

IT 90-45-9, 9-Aminoacridine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(immunomodulating effect of acridine tautomers on eukaryotic cells)

IT 55468-73-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(immunomodulating effect of acridine tautomers on eukaryotic cells)

RN 55468-73-0 HCAPLUS

CN 1,3-Propanediamine, N'-9-acridinyl-N,N-diethyl- (9CI) (CA INDEX NAME)

L64 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2003 ACS

AN 1990:138923 HCAPLUS

DN 112:138923

TI Acridine derivatives, and human immunodeficiency virus (HIV) reverse transcriptase inhibitors and antitumor agents containing them

IN Takeuchi, Tomio; Umezawa, Kazuo; Hirose, Sonoko; Muraoka, Yasuhiko; Taketsuru, Hirofumi; Nogami, Takashi

PA Microbiochemical Research Foundation, Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp. CODEN: JKXXAF

DT Patent

LA Japanese

IC ICM C07D219-12

MARPAT 112:138923

ICS A61K031-47; A61K031-495; A61K031-535

CC 27-18 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 01221364 A2 19890904 JP 1988-2544 19880111

PRAI JP 1988-2544 19880111

GT

The title pharmaceutical contain I [R1 = alkyl; X = halo; Y = basic group; Z = alkylene, Z1NR2Z2(NR3Z3)n; R2, R3 = H, (amino-substituted)alkyl; Z1,Z2,Z3 = alkylene; n = 0,1] as active ingredients and I [R1 = alkyl; X = halo; Y = NH2, morpholine, NHCH:NH, NHC(:NH)NH2; Z = alkylene, Z1NR2Z2; when Z = alkylene, Y is other than NH2] are prepd. Treatment of 2-methoxy-6,9-dichloroacridine with [H2N(CH2)3]2NMe gave I [R1 = Me; X = C1; YZ = H2N(CH2)3NMe(CH2)3]. The latter showed IC50 of 6.8 .mu.g/mL and 0.32 .mu.g/mL against HIV reverse transcriptase and mouse P388 leukemia cells, resp.

ST acridine HIV reverse transcriptase inhibitor; antitumor agents acridine prepn

IT Neoplasm inhibitors

(acridine derivs.)

IT Immunodeficiency

(acquired **immune** deficiency syndrome, treatment of, by acridine derivs.)

IT 86-38-4, 2-Methoxy-6,9-dichloroacridine

RL: RCT (Reactant); RACT (Reactant or reagent)
 (amination of, with bis(aminopropyl)methylamine)

IT 105-83-9, Bis(3-aminopropyl)methylamine

RL: RCT (Reactant); RACT (Reactant or reagent)
 (amination of, with methoxydichloroacridine)

IT 16694-46-5

RL: RCT (Reactant); RACT (Reactant or reagent) (condensation of, with aminoethylacridine)

IT 9068-38-6

RL: USES (Uses)

(inhibitors, acridine derivs. as)

IT 83-89-6P 7657-92-3P 14446-60-7P 35365-89-0P **55935-12-1P** 

77420-96-3P **85363-11-7P** 85363-12-8P 121714-46-3P

121714-47-4P 121714-48-5P 121714-49-6P 121714-50-9P 121714-51-0P

121714-52-1P 121714-53-2P 121739-14-8P 125835-42-9P .125835-43-0P

125835-44-1P 125864-64-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as human immunodeficiency virus reverse

transcriptase inhibitor and antitumor agent)

IT 55935-12-1P 85363-11-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as human immunodeficiency virus reverse

transcriptase inhibitor and antitumor agent)

RN 55935-12-1 HCAPLUS

CN 1,3-Propanediamine, N'-(6-chloro-2-methoxy-9-acridinyl)-N,N-dimethyl-(9CI) (CA INDEX NAME)

10 / 082801 kwon -85363-11-7 HCAPLUS RN (CA INDEX 1,3-Propanediamine, N-(6-chloro-2-methoxy-9-acridinyl)- (9CI) CN  $NH-(CH_2)_3-NH_2$ ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2003 ACS 1.64 1972:121776 HCAPLUS AN DN 76:121776 Search for antitumor compounds. X. Biologic studies. TIAntitumor properties of 20 new substituted 9-aminoacridine derivatives. V Hrabowska, Maria; Ledochowski, Andrzej; Horowska, Barbara; Konopa, Jerzy; ΑU Onoszko, Krystyna CS Dep. Drug Technol. Biochem., Tech. Sch., Gdansk, Pol. Archivum Immunologiae et Therapiae Experimentalis (1971), 19(6), 879-90 SO CODEN: AITEAT; ISSN: 0004-069X DT Journal LA English 1 (Pharmacodynamics) CC Of 20 substituted 9-aminoacridines (I) tested, 4-methyl-9-[[3-AB (dimethylamino)propyl]amino]-1-nitroacridine-2HCl [21193-46-4], 4-methyl-1-nitro-9-[(5-piperidinopentyl)amino]acridine-2HCl [34433-60-8] 4-methyl-9-[[2-(dimethylamino)ethyl]amino]-1-nitroacridine-2HCl [34433-61-9], and 4-methyl-1-nitro-9-(propylamino)acridine-2HCl [34433-62-0] had the highest in vitro antitumor activity. Some of the compds. inhibited sarcoma 180 in mice, but their antitumor effects could not be subsequently confirmed. Histol. examns. following treatment with 12 of the compds. indicated reticuloendothelial cell hyperplasia in the liver, spleen, and/or lymph nodes, feathery degeneration in the liver, in some cases

liver damage, and karyorrhectic necrosis of the central portions of the Neither the size nor the electron attractivity of the substituent in position 1 of the acridine nucleus significantly affected antitumor properties. aminoacridine antitumor effect; tumor aminoacridine

ST

Neoplasm inhibitors IT

(aminoacridine derivs. as)

ΙT Molecular structure-biological activity relationship (neoplasm inhibiting, of aminoacridine derivs.)

10166-37-7 21193-46-4 22670-65-1 IT 10166-38-8 10252-13-8 34433-62-0 **35547-74-1** 34433-61-9 29232-83-5 34433-60-8

35547-75-2 35547-76-3 35547-77-4

35547-80-9 35547-82-1 35547-78-5 35547-79-6

35604-83-2 35604-84-3 35853-27-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(neoplasm inhibiting activity of)

22670-65-1 35547-74-1 35547-75-2 IT 35547-76-3 35547-77-4 35547-78-5

35547-79-6 35604-83-2 35604-84-3

35853-27-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (neoplasm inhibiting activity of)

RN 22670-65-1 HCAPLUS

CN 1,3-Propanediamine, N'-(1,4-dimethyl-9-acridinyl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

## ●2 HC1

RN 35547-74-1 HCAPLUS

CN 1,3-Propanediamine, N'-(1-fluoro-9-acridinyl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

#### ●2 HCl

RN 35547-75-2 HCAPLUS

CN 1,3-Propanediamine, N'-(1-chloro-9-acridinyl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

## ●2 HC1

RN 35547-76-3 HCAPLUS

CN 1,3-Propanediamine, N'-(1-bromo-9-acridinyl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 35547-77-4 HCAPLUS
CN 1,3-Propanediamine, N'-(3-bromo-9-acridinyl)-N,N-dimethyl-,
dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 35547-78-5 HCAPLUS
CN 1,3-Propanediamine, N'-(1-iodo-9-acridinyl)-N,N-dimethyl-, dihydrochloride
(9CI) (CA INDEX NAME)

●2 HCl

RN 35547-79-6 HCAPLUS CN 1,3-Propanediamine, N'-(3-iodo-9-acridinyl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

RN 35604-83-2 HCAPLUS

CN 1,3-Propanediamine, N'-(3-fluoro-9-acridinyl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

### ●2 HCl

RN 35604-84-3 HCAPLUS

CN 1,3-Propanediamine, N'-(3-chloro-9-acridinyl)-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

## ●2 HC1

RN 35853-27-1 HCAPLUS

CN 1,9-Acridinediamine, N9-[3-(dimethylamino)propyl]-N1,N1-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

#### ●2 HC1

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L25
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L27
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L28
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L31
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L37
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                E E3+ALL
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L40
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                E HYPERPLAS/CT
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           1631 S E2
L43
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                E E8+ALL
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L71
=> d all hitstr tot 171
    ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2003 ACS
L71
     2000:900623 HCAPLUS
DN
     134:56585
     Antagonism of immunostimulatory CpG-oligonucleotides by 4-aminoquinolines
ΤĮ
     and other weak bases
IN
     MacFarlane, Donald E.; Strekowski, Lucjan; Manzel, Lori; Ismail, Fyaz;
     Barlin, Gordon B.
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University of Iowa Research Foundation, USA

PCT Int. Appl., 138 pp.

PA

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CODEN: PIXXD2
DT
     Patent
     English
LA
IC
     ICM C07D215-44
         C07D219-12; A61K031-47; A61P037-06
     27-17 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 1, 15
FAN.CNT 1
                                             APPLICATION NO.
                                                                DATE
     PATENT NO.
                       KIND
                             DATE
                                             WO 2000-US16723 20000616 <--
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                        Α1
                              20001221
PΙ
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                                             US 2000-595875
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 $R^{3}$ 

MARPAT 134:56585

OS GI

The present invention concerns compns. and methods for inhibiting AB stimulation of the immune system. The compds. and methods comprise compds. that are analogs and derivs. of chloroquine, such as 4-aminoquinolines, and other weak bases. other weak bases. More particularly, a method of inhibiting immunostimulation in a subject comprises administering an effective amt. of a compn. contg. substituted 4-quinolinamines [I; RA = H, lower alkyl; RB = (un)substituted alkyl, alkenyl, or alkynyl secondary or tertiary amine; R2 = (un)substituted Ph, naphthyl, anthracyl, phenanthryl, or styryl; \*R3 = R5 = R8 = H; R6, R7 = H, halo] and pharmaceutically acceptable salts thereof to said subject, the 4-quinolinamine compn. comprising a compd. having the structural formula They can be used in preventative and therapeutic treatments of autoimmune diseases and phenomena, transplant rejection such as host-vs.-graft disease and sepsis. A detailed structure-activity relationship (SAR) anal. of quinoline antagonists of immunostimulatory CpG-ODNs was undertaken. The synthesis work together with SAR anal. of the synthesized quinolines culminated in the finding of an extremely active agent (II). ST

structure activity relationship antagonist immune stimulation aminoquinoline; aminoquinoline prepn antagonist CpG oligonucleotide

immunostimulation; autoimmune disease treatment aminoquinoline; transplant rejection treatment aminoquinoline; host graft disease treatment aminoquinoline; sepsis treatment aminoquinoline

IT Oligonucleotides

Phosphorothioate oligonucleotides

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(CpG-contg.; prepn. of aminoquinolines as antagonists for immunostimulatory CpG-oligonucleotides for presentation and therapeutic treatment of autoimmune diseases and transplant rejection such as host-vs.-graft disease and sepsis)

IT Transplant and Transplantation

(host-vs.-graft reaction; prepn. of aminoquinolines as antagonists for immunostimulatory CpG-oligonucleotides for presentation and therapeutic treatment of autoimmune diseases and transplant rejection such as host-vs.-graft disease and sepsis)

IT Structure-activity relationship

(immunodepressant; antagonist activity of aminoquinolines against immunostimulation by CpG-oligonucleotides)

IT Autoimmune disease

Immunosuppressants

Sepsis

ΙT

(prepn. of aminoquinolines as antagonists for immunostimulatory CpG-oligonucleotides for presentation and therapeutic treatment of autoimmune diseases and transplant rejection such as host-vs.-graft disease and sepsis)

IT Transplant and Transplantation

(rejection of; prepn. of aminoquinolines as antagonists for immunostimulatory CpG-oligonucleotides for presentation and therapeutic treatment of autoimmune diseases and transplant rejection such as host-vs.-graft disease and sepsis)

54-05-7, Chloroquine 83-89-6 85-10-9 118-42-3 130-95-0, Quinine 2519-38-2 3548-09-2 3562-70-7 3870-43-7 5342-59-6 5418-60-0 5428-61-5 5431-04-9 5431-73-2 5437-27-4 5442-70-6 6286-25-5 6633-20-1 7597-07-1 15462-38-1 33601-73-9 34374-22-6 46980-74-9 47353-27-5 47579-52-2 47632-17-7 47653-53-2 64131-49-3 93338-11-5 95257-88-8 95257-89-9 95257-91-3 102466-48-8 105758-95-0 105758-96-1 110049-68-8 114159-05-6 119120-33-1 124959-65-5 127396-67-2 129224-99-3 129225-05-4 131407-83-5 131407-85-7 131435-43-3 133394-14-6 133671-46-2 133671-50-8 137434-38-9 144085-63-2 145431-57-8 145431-59-0 145431-61-4 145431-64-7 149428-26-2 150314-42-4 150314-43-5 153174-68-6 158583-64-3 159788-75-7 161804-72-4 161804-73-5 175415-01-7 175846-85-2 175846-87-4 175847-07-1 181775-92-8 181776-39-6 181776-44-3 183477-49-8 204511-84-2 204511-86-4 204511-90-0 204511-93-3 204512-05-0 204512-12-9 204512-20-9 204522-84-9 204522-85-0 204522-88-3 213972-22-6 241817-09-4 241817-11-8 241817-13-0 241817-21-0 241817-24-3 241817-33-4 241817-37-8 241817-39-0 241817-40-3 255824-68-1 313822-88-7 313825-03-5 313825-08-0 313825-18-2 313825-23-9 313825-28-4 313825-33-1 313825-43-3 313825-48-8 313825-53-5 313825-62-6 313825-75-1 313825-84-2 313825-92-2 313826-09-4 313826-14-1 313826-20-9 313826-32-3 313826-37-8 313826-47**-**0 313826-52-7 313826-57-2 313826-66-3 313826-72-1 313826-84-5 313826-89-0 313826-94-7 313827-17-7 313827-20-2 313827-25-7 313827-34-8 313827-39-3 313827-52-0 313827-57-5 313827-62-2 313827-71-3 313827-81-5 313828-29-4 313827-86-0 313828-11-4 313828-20-5 313828-34-1 313829-00-4 313828-46-5 313828-70-5 313828-95-4 313829-12-8 313829-81-1 313829-46-8 313829-63-9 313829-76-4 313829-89-9 313830-14-7 313830-23-8 313830-28-3 313830-34-1 313830-42-1 313830-83-0 313830-60-3 313830-65-8 313830-78-3 313830-88-5 313831-51-5 313830-96-5 313831-01-5 313831-42-4 313831-68-4 313831-77-5 313831-82-2 313831-93-5 313831-97-9 313832-02-9

TΤ

IT

ΙT

IT

ΙT

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313833-23-7
              313944-28-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
   (prepn. of aminoquinolines as antagonists for immunostimulatory
   CpG-oligonucleotides for presentation and therapeutic treatment of
   autoimmune diseases and transplant rejection such as host-vs.-graft
   disease and sepsis)
145363-45-7P
               313823-42-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation); RACT (Reactant or reagent)
   (prepn. of aminoquinolines as antagonists for immunostimulatory
   CpG-oligonucleotides for presentation and therapeutic treatment of
   autoimmune diseases and transplant rejection such as host-vs.-graft
   disease and sepsis)
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study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
   (prepn. of aminoquinolines as antagonists for immunostimulatory
   CpG-oligonucleotides for presentation and therapeutic treatment of
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   disease and sepsis)
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (prepn. of aminoquinolines as antagonists for immunostimulatory
   CpG-oligonucleotides for presentation and therapeutic treatment of
   autoimmune diseases and transplant rejection such as host-vs.-graft
   disease and sepsis)
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50-00-0, Formaldehyde, reactions
105-83-9, N,N-Bis(3-aminopropy1)methylamine 108-30-5, Succinic
                     109-01-3, N-Methylpiperazine
anhydride, reactions
                                                     109-55-7.
                                 109-76-2, 1,3-Propanediamine
N, N-Dimethyl-1, 3-propanediamine
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                           123-00-2, 3-Morpholinopropylamine
Succinic acid, reactions
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                  156-87-6, 3-Aminopropanol
4-Hydroxyaniline
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                        445-27-2, 2'-Fluoroacetophenone
4'-Fluoroacetophenone
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2-(Aminomethyl)pyridine
                          7209-38-3, 1,4-Bis(3-aminopropyl)piperazine
103914-51-8
              105563-31-3
                            132608-39-0, Lithium 2-
                            156094-81-4
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(dimethylamino) ethylamide
RL: RCT (Reactant); RACT (Reactant or reagent)
   (prepn. of aminoquinolines as antagonists for immunostimulatory
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   disease and sepsis)
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194919-91-0P
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(Reactant or reagent)
```

(prepn. of aminoquinolines as antagonists for immunostimulatory CpG-oligonucleotides for presentation and therapeutic treatment of autoimmune diseases and transplant rejection such as host-vs.-graft disease and sepsis)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD RE

- (1) Board Of Regents Of The University Of Nebraska; WO 9307126 A 1993 HCAPLUS
- (2) Eisai Co Ltd; EP 0607439 A 1994 HCAPLUS
- (3) F Hoffmann-La Roche Ag; WO 9535287 A 1995 HCAPLUS
- (4) Han-Yen, C; US 5886185 A 1999 HCAPLUS
- (5) Macfarlane, D; THE JOURNAL OF IMMUNOLOGY 1998, V160, P1122 HCAPLUS
- (6) Strekowski, L; US 5304554 A 1994 HCAPLUS
- (7) Strekowski, L; BIOORGANIC & MEDICINAL CHEMISTRY LETTERS 1999, V9(13), P1819 HCAPLUS
- (8) Strekowski, L; JOURNAL OF MEDICINAL CHEMISTRY 1996, V39, P3980 HCAPLUS
- L71 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2003 ACS
- AN 1998:436155 HCAPLUS
- DN 129:170225
- TI Inhibition of RNA synthesis in vitro by acridines. Relation between structure and activity
- AU Piestrzeniewicz, Mariola K.; Wilmanska, Dorota; Studzian, Kazimierz; Szemraj, Janusz; Czyz, Malgorzata; Denny, William A.; Gniazdowski, Marek
- CS Department General Chemistry, Institute Physiology Biochemistry, Medical University Lodz, Lodz, 90131, Pol.
- SO Zeitschrift fuer Naturforschung, C: Biosciences (1998), 53(5/6), 359-368
  CODEN: ZNCBDA; ISSN: 0341-0382
  - Verlag der Zeitschrift fuer Naturforschung
- DT Journal

PΒ

- LA English
- CC 1-6 (Pharmacology)
  - Section cross-reference(s): 6
- The effects of acridine derivs. (proflavine and 2,7-dialkyl derivs., AB diacridines and triacridines, 9-aminoacridine carboxamides, and 9-anilinoacridine, amsacrine and its congeners) on overall RNA synthesis in vitro, on synthesis of initiating oligonucleotides and the binding of the enzyme to DNA were studied. The primary mechanism of action is related to inhibition of the enzyme binding to DNA. The acridines (intercalating or non-intercalating and bis-intercalating ligands) assayed here differ in the properties of their complexes with DNA. Correlation is generally obsd. between inhibition of RNA synthesis in vitro and cytotoxicity in cell cultures for di- and triacridines and 9-aminoacridine carboxamide derivs. No relationship was found between the effect on RNA polymerase system and biol. effects for amsacrine and its derivs. in contrast to the other series of acridines studied here. aniline ring seems to decrease the inhibitory potency of a ligand. discrepancy between the biol. effect and RNA synthesis inhibition may be due to a different mechanism of cytotoxicity action of amsacrine which is a potent topoisomerase II poison.
- ST RNA synthesis inhibition acridine anticancer
- IT Structure-activity relationship

(DNA-binding; inhibition of RNA synthesis in vitro by acridines and relation between structure and activity)

- IT Structure-activity relationship
  - (enzyme-inhibiting; inhibition of RNA synthesis in vitro by acridines and relation between structure and activity)
- IT Antitumor agents
  - RNA formation
    - (inhibition of RNA synthesis in vitro by acridines and relation between structure and activity)
- IT 92-26-2, 2,7-Dimethylproflavine 92-62-6, Proflavine 260-94-6D,

Acridine, derivs. 13365-37-2, 9-[3-(Dimethylamino)propylamino]ac 33244-11-0, 3,6-Acridinediamine, 2,7-bis(1,1-dimethylethyl)-51264-17-6, Methanesulfonamide, 51264-14-3, Amsacrine N-[4-(9-acridinylamino)-2-methoxyphenyl]-83951-93-3, 83951-94-4, 2,7-Diisopropylproflavine 88476-68-0 2,7-Diethylproflavine 91482-26-7 89459-30-3 89459-43-8 91549-70-1 89459-25-6 98502-89-7 98512-16-4 98502-84-2 100113-16-4 98502-80-8 100113-21-1 106626-64-6 100113-19-7 100113-24-4 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (inhibition of RNA synthesis in vitro by acridines, relation between structure and activity) 13365-37-2, 9-[3-(Dimethylamino)propylamino]acridine RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (inhibition of RNA synthesis in vitro by acridines, relation between structure and activity) 13365-37-2 HCAPLUS

1,3-Propanediamine, N'-9-acridinyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

TT

RN

CN

ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2003 ACS ΑN 1983:522253 HCAPLUS DN 99:122253 ΤI Research on tumor inhibiting compounds. Part LXXIII. Reduction of 1-nitro-9-[3-(dimethylamino)propylamino]acridine by sodium borohydride ΑU Wysocka-Skrzela, Barbara; Ledochowski, Andrzej Inst. Org. Food Chem. Technol., Polytech. Univ., Gdansk, 80952, Pol. CS SO Polish Journal of Chemistry (1981), 55(7-8), CODEN: PJCHDQ; ISSN: 0137-5083 DΤ Journal English LA CC 27-18 (Heterocyclic Compounds (One Hetero Atom)) GI

AB Redn. of Ledakrin (I.2HCl, R = NO2) (II) with NaBH4 gave 18% I (R = NO), 28% I (R = NHOH) (III), and 24% I (R = NH2). Compd. III was identical with the compd. formed during incubation of II with tumor cells.

ST Ledakrin sodium borohydride redn; nitroacridine dimethylaminopropylamine

Ledakrin sodium borohydride redn; nitroacridine dimethylaminopropylamine sodium borohydride redn

ΙT 19395-54-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and acetylation of) 87061-35-6P 87061-36-7P 87061-34-5P ΙT 30904-48-4P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) ΙT 16940-66-2 RL: RCT (Reactant); RACT (Reactant or reagent) (redn. by, of Ledakrin) ΙT RL: RCT (Reactant); RACT (Reactant or reagent) (redn. of, with sodium borohydride) IT 19395-54-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and acetylation of) RN19395-54-1 HCAPLUS 1,9-Acridinediamine, N9-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME) CN NH-(CH<sub>2</sub>)<sub>3</sub>-NMe<sub>2</sub>NH2

ΙT 87061-36-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

87061-36-7 HCAPLUS RN

Acetamide, N-[1-(acetylamino)-9-acridinyl]-N-[3-(dimethylamino)propyl]-CN (CA INDEX NAME)

L71 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2003 ACS

ΑN 1972:457010 HCAPLUS

DN 77:57010

Cytotoxic properties of nitro derivatives of 9-aminoacridine in TIcultures of Euglena gracilis

Chotkowska, Ewa; Konopa, Jerzy ΑU

Dep. Drug. Technol. Biochem., Tech. Univ., Gdansk, Pol. CS

SO Archivum Immunologiae et Therapiae Experimentalis (1972), 20(2), 289-94 CODEN: AITEAT; ISSN: 0004-069X

Journal DT

English LA

CC 3-2 (Biochemical Interactions)

Among 30 9-aminoacridine derivs. tested against E. gracilis, AΒ 9-[[2-(diethylamino)ethyl]amino]-1-nitroacridine (I) [24414-70-8] and

```
9-[[3-(dimethylamino)propyl]amino]-1-nitroacridine (II) [4533-39-5] were
the most active, causing 50\% inhibition of growth of the protozoa at 1-10
and 10 .mu.g/ml, resp. 9-[[2-(Dimethylamino)ethyl]amino]-1-nitroacridine
[15539-41-0], 9-[[3-(dimethylamino)propyl]amino]-4-methyl-1-nitroacridine
[24400-01-9], 3-bromo-9-[[3-(dimethylamino)propyl]amino]-5-methylacridine
[35411-41-7], and 3-bromo-9-[[3-(dimethylamino)propyl]amino]-6-
nitroacridine [24402-94-6] caused 50% inhibition at .leq. 25 .mu.g/ml.
Six other derivs. had the same effect at .leq. 50 .mu.g/ml. With the
exception of the 2 bromo derivs., the most active compds. had the nitro
group in position one. All other 2-, 3-, and 4-nitro derivs. failed to
inhibit Euglena growth at <200 .mu.g/ml. Inhibitory activity against
Euglena correlated well with previously reported biol. activity of the
compds. in tissue cultures.
aminoacridine Euglena inhibition; antitumor test Euglena;
acridine aminonitro Euglena
Protozoacides
   (aminoacridine derivs. as)
Euglena gracilis
   (aminoacridine derivs. inhibition of)
Molecular structure-biological activity relationship
   (protozoacidal, of aminoacridine derivs.)
6691-68-5
            22044-87-7
                         37551-11-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
   (protazoacidal activity of)
                        6237-29-2
                                    15539-41-0
                                                 15539-43-2
                                                              15539-45-4
4292-63-1
            6237-22-5
20064-09-9
             22157-47-7
                          22157-48-8
                                       24399-89-1
                                                    24399-91-5
24399-97-1
             24399-98-2
                          24400-01-9
                                       24400-02-0
                                                    24402-94-6
                          32987-50-1 35411-41-7
                                                  37551-28-3
24414-70-8
             31638-11-6
37551-32-9
             37551-36-3
                          37754-14-6
                                       37837-07-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
   (protozoacidal activity of)
4533-39-5
RL: PRP (Properties)
   (protozoacidal activity of)
35411-41-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
   (protozoacidal activity of)
35411-41-7 HCAPLUS
1,3-Propanediamine, N'-(3-bromo-5-methyl-9-acridinyl)-N,N-dimethyl- (9CI)
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(CA INDEX NAME)

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L71 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2003 ACS
AN 1969:95102 HCAPLUS
DN 70:95102
TI Search for antitumor compounds. V. Biologic studies.
Antitumor properties of 41 new acridine derivatives
AU Radzikowski, Czeslaw; Ledochowski, Andrzej; Hrabowska, Maria;
Horowska, Barbara; Stefanska, Barbara; Kdnopa, Jerzy; Jereczek-Morawska,
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Elzbieta Polska Akad. Nauk, Gdansk, Pol. CS Archivum Immunologiae et Therapiae Experimentalis (1969), SO **17**(1), **86**-98 CODEN: AITEAT; ISSN: 0004-069X DT Journal LA English CC 15 (Pharmacodynamics) Methoxy- and methyl-9-aminoacridine derivs. were examd. for their AΒ antitumor activity in 3 screening tests: sarcoma 180 in . mice, Miyamura test, and inhibition of germination of Lepidium sativum (R., et al., 1967). Sarcoma 180 was inhibited most often by compds. which: contained dimethyl-aminoethylamine, dimethylaminopropylamine, or dimethyl-aminobutylamine as substituents at C-9; contained a Me or methoxy group in position 4. In the Miyamura test, activity was observed with those compds. which: contained dimethyl-aminoethylamine as substituent at C-9; contained a methoxy or Me group in position 3. Germination of L. sativum was inhibited by compds. which: contained as substituent at C-9 a N, N-dimethylhydrazine, dimethylaminopropylamine, or dimethyl-aminoethylamine; this effect was observed most often when the methoxy or Me group was in position 4. Among the 9-aminoacridine derivs., 6 compds. showed activity in 2 tests including 9-(dimethylaminopropylamino)-4-methoxyacridine and 9-(di-methylaminopropylamino)-2-methylacridine, and 19 compds. in only 1 ST antitumor aminoacridine derivs; aminoacridine derivs antitumor; sarcoma control acridine derivs; acridine derivs sarcoma control IT Neoplasm inhibitors (acridine derivs.) Molecular structure-biological activity relationships ΙT (neoplasm inhibiting, of acridine derivs.) 23159-15-1 23262-27-3 23541-67-5 23541-68-6 23541-69-7 IΤ 1442-91-7 23541-71-1 **23551-95-3** 23541-70-0 23551-96-4 23551-98-6 23551-99-7 23552-00-3 **23552-01-4** 23551-97-5 23552-03-6 **23552-04-7** 23552-05-8 23552-02-5 23552-07-0 23552-08-1 23552-09-2 23552-06-9 23552-12-7 23552-13-8 23552-14-9 **23552-15-0** 23552-11-6 23552-16-1 23552-17-2 23552-18-3 23552-19-4 **23552-20-7** 23552-21-8 23552-22-9 23552-23-0 23552-24-1 23552-25-2 23552-26-3 **23552-28-5 23552-29-6** 23595-24-6 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (neoplasm inhibition by) 23541-70-0 23551-95-3 23552-01-4 23552-04-7 23552-06-9 23552-15-0 23552-20-7 23552-28-5 23552-29-6 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (neoplasm inhibition by) 23541-70-0 HCAPLUS RN CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

•2 HCl

RN 23551-95-3 HCAPLUS

CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride (6CI, 7CI, 8CI) (CA INDEX NAME)

●2 HC1

RN 23552-01-4 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

●2 HCl

RN 23552-04-7 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

RN 23552-06-9 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

## ●2 HCl

RN 23552-15-0 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methyl-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

## •2 HCl

RN 23552-20-7 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methyl-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

## ●2 HCl

RN 23552-28-5 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

#### ●2 HC1

RN 23552-29-6 HCAPLUS

CN 1,3-Propanediamine, N,N-dimethyl-N'-(4-methyl-9-acridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

## •2 HCl

L71 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2003 ACS

AN 1969:2023 HCAPLUS

DN 70:2023

TI Cytostatic and **cytotoxic** effect of 1-nitro-9-aminoacridine derivatives

AU Radzikowski, C.; Ledochowski, A.

CS Polska Akad. Nauk, Gdansk, Pol.

SO Int. Congr. Chemother., Proc., 5th (1967), Volume 2, Issue 1, 263-6. Editor(s): Spitzy, K. H. Publisher: Verlag Wiener Medizinisch. Akad., Vienna, Austria. CODEN: 20JJA4

DT Conference

LA English

CC 15 (Pharmacodynamics)

AB Sixty-nine derivs. of 9-aminoacridine were studied as potential antitumor agents. The nitro substituted compds. were biol. more active than related methoxy, methyl, or dimethylamino derivs., when tested on four tumor screens.

ST aminoacridines cancer; cancer aminoacridines; nitroaminoacridines cancer

IT Neoplasm inhibitors

(acridine derivs. as)

IT Molecular structure-biological activity relationships

(neoplasm inhibiting, of acridine derivs.)

IT Acridine, 9-[[2-(dimethylamino)ethyl]amino]-3-methoxy-RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

```
(neoplasm inhibition by)
     3323-61-3 3324-09-2
                            3505-65-5
                                         4292-62-0
                                                     4292-63-1
ΙT
                                                     4552-23-2
     4292-64-2 · 4533-37-3 4533-38-4
                                         4533-39-5
                                                        6237-32-7
                                                                    6237-34-9
     4595-85-1
                  6237-22-5
                              6237-24-7
                                           6237-29-2
                                                         13240-58-9
                                                                      15016-02-1
     6514-65-4
                  6514-86-9
                              6691-68-5
                                           10496-95-4
     15016-07-6
                   15463-22-6
                                15463-23-7
                                              15463-25-9
                                                            15539-39-6
                                                          22044-86-6
                                15539-45-4 20566-27-2
     15539-41-0
                   15539-43-2
     22044-87-7 22044-88-8 22044-89-9 22044-90-2
                                                            22089-30-1
     22044-91-3
                   22044-92-4
                                22089-28-7
                                              22089-29-8
     22089-31-2
                   22089-33-4
                                22089-34-5
                                              22089-35-6
                                                            22089-36-7
     22089-37-8
                   22089-39-0
                                22089-40-3
                                              22089-41-4
                                                            22089-42-5
     22089-43-6 22089-44-7 22089-45-8 22089-47-0
                   22089-49-2
                                22089-50-5
                                              22089-52-7
                                                            22089-53-8
     22089-48-1
     22129-21-1
                   22129-22-2
                                22148-42-1
                                              22148-43-2
                                                            22157-47-7
     22157-48-8
                   22584-79-8
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (neoplasm inhibition by)
IT
     22089-32-3
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (neoplasm inhibition by, mol. structure in relation to)
IT
     3323-61-3 3324-09-2 4533-38-4
     20566-27-2 22044-88-8 22044-89-9
     22044-90-2 22089-44-7 22089-45-8
     22089-47-0 22089-48-1
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (neoplasm inhibition by)
RN
     3323-61-3 HCAPLUS
CN
     Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy- (7CI, 8CI)
     INDEX NAME)
  OMe
       NH-(CH<sub>2</sub>)<sub>3</sub>-NMe<sub>2</sub>
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RN 3324-09-2 HCAPLUS
CN 1,3-Propanediamine, N'-(4-methoxy-9-acridinyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 20566-27-2 HCAPLUS

CN 1,3-Propanediamine, N,N-dimethyl-N'-(2-methyl-9-acridinyl)- (9CI) (CA INDEX NAME)

RN 22044-88-8 HCAPLUS

CN Acridine, 2-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]- (8CI) (CA INDEX NAME)

$$Me_2N$$
 $NH-(CH_2)_3-NMe_2$ 

RN 22044-89-9 HCAPLUS

CN Acridine, 3-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]- (8CI) (CA INDEX NAME)

RN 22044-90-2 HCAPLUS

CN Acridine, 4-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]- (8CI) (CA INDEX NAME)

RN 22089-44-7 HCAPLUS

CN 1,3-Propanediamine, N'-(2-methoxy-9-acridinyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 22089-45-8 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methoxy- (8CI) (CA INDEX NAME)

RN 22089-47-0 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methyl- (8CI) (CA INDEX NAME)

RN 22089-48-1 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methyl- (8CI) (CA INDEX NAME)

=> d all hitstr tot

L73 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1966:429389 HCAPLUS

DN 65:29389

OREF 65:5440a-d

Tumor-inhibiting compounds. XXXI. Synthesis of 2-chloro-and TΙ 2-bromo-9-(4-dimethylaminobutylamino)acridine and of some methoxybromo derivatives of 9-(3-dimethylaminopropylamino)acridine Bogucka, Maria; Ledochowski, Zygmunt ΑU Politech., Gdansk, Pol. CS Roczniki Chemii (1966), 40(4), 677-82 SO CODEN: ROCHAC; ISSN: 0035-7677 DTJournal LA Polish CC 37 (Heterocyclic Compounds (One Hetero Atom)) For diagram(s), see printed CA Issue. GΙ cf. preceding abstr. N-(4-Chlorophenyl) anthranilic acid (52.8 g.) was AΒ heated for 5.5 hrs. with 306.6 g. POCl3 at 85-130.degree.. The excess of POC13 was distd. and the residue poured into ice-concd. NH3 mixt. and extd. with CHCl3. From the ext., 26.3 g. 2,9-dichloroacridine, m. 145-6.degree., was obtained in 50% yield. 2-Bromo-9-chloroacridine was prepd. similarly and with the same yield. To 1.6 g. 3-bromo-5-methoxy-9chloro-acridine was added 2.5 g. phenol and 0.75 ml. N, Ndimethylaminopropylamine. The mixt. was heated on a steam bath 1.5 hrs. and cooled, 20 ml. ether added, and the whole ext. with 50 ml. 2.5N aq. The ether ext. was dried with MgSO4, then an ether soln. of HCl The ppt. formed was recrystd. thrice from abs. EtOH to yield 2 g. product. Similarly were obtained the following I (R, X, n, % yield, and m.p. (decompn.) given): 5-OMe, 3-Br, 3, 61,226-7.degree.; 6-OMe, 3-Br, 3, 87,237-8.degree.; 8-Me, 3-Br, 3, 70, 194-5.degree.; 7-OMe, 2-Br, 3, 74,248-9.degree.; 7-OMe, 4-Br, 3, 48, 237-8.degree.; H, 2-Cl, 4, 80, 253-4.degree.; H, 2-Br, 4, 82, 252-3.degree.. The antitumor properties of these compds. were tested on Sa 180 in mice, in vitro in the Miyamura test, and on germs of Lepidium sativum. All the tumor-active compds. have the halogen atom in the position 1 or 3. IT Neoplasms Neoplasms (inhibitors of) Acridine, 3-bromo-9-L[3-(dimethylamino)propyl]amino]-6-methoxy-, ΙT dihydrochloride ΙΤ 611-64-3, Acridine, 9-methyl-(derivs.) ΙT 1019-14-3, Acridine, 2,9-dichloro-6534-56-1, Acridine, 3-bromo-9-chloro-5-methoxy- 6534-57-2, Acridine, 3-bromo-9-chloro-6-6534-58-3, Acridine, 6-bromo-9-chloro-1-methoxy-Acridine, 2-bromo-9-chloro-7-methoxy- 6534-60-7, Acridine, 5-bromo-9-chloro-2-methoxy-6534-61-8, Acridine, 3-bromo-9-[[4-(dimethylamino)butyl)amino]-6-methoxy-, dihydrochloride Acridine, 6-bromo-9-[[4-(dimethylamino)butyl]amino]-1-methoxy-, dihydrochloride 6534-84-5, Acridine, 2-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride 6534-85-6 Acridine, 5-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, 6534-86-7, Acridine, 2-chloro-9-[[4dihydrochloride (dimethylamino)butyl]amino]-, dihydrochloride 6534-87-8, Acridine, 2-bromo-9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride Acridine, 2-chloro-9-[[4-(dimethylamino)butyl]amino]-6534-96-9, Acridine, 2-bromo-9-[[4-(dimethylamino)butyl]amino]- 6546-58-3, Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride 6832-64-0, Acridine, 3-bromo-9-[[3-(dimethylamino)propyl]amino]-5-methoxy-, dihydrochloride (prepn. of) 6534-84-5, Acridine, 2-bromo-9-[[3-(dimethylamino)propyl]amino]-7methoxy-, dihydrochloride 6534-85-6, Acridine, 5-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride 6546-58-3, Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-1methoxy-, dihydrochloride 6832-64-0, Acridine, 3-bromo-9-[[3-(dimethylamino)propyl]amino]-5-methoxy-, dihydrochloride

(prepn. of)

RN 6534-84-5 HCAPLUS

CN Acridine, 2-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

### ●2 HCl

RN 6534-85-6 HCAPLUS

CN Acridine, 5-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

## ●2 HCl

RN 6546-58-3 HCAPLUS

CN Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

#### ●2 HCl

RN 6832-64-0 HCAPLUS

CN Acridine, 3-bromo-9-[[3-(dimethylamino)propyl]amino]-5-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

#### ●2 HCl

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ΑN 1965:488781 HCAPLUS

63:88781 DN

OREF 63:16302h,16303a-e

Tumor-inhibiting compounds. XXV. Synthesis of some N9-derivatives of 2-, 3-, and 4-dimethylamino-9-aminoacridines

ΑU Ledochowski, Andrzej; Kozinska, Barbara

Politech., Gdansk, Pol. CS

SO Roczniki Chem. (1965), 39(3), 357-63

DT Journal

LA Polish

AΒ

CC 37 (Heterocyclic Compounds (One Hetero Atom))

GΙ For diagram(s), see printed CA Issue.

cf. CA 63, 4256b. Ullmann condensation of dimethylamino-2-chlorobenzoic acids with PhNH2 or 2-chlorobenzoic acid with the corresponding dimethylaminoaniline led to N-(dimethylaminophenyl) anthranilic acids (I), which when cyclized by heating with POCl3 afforded 2-, 3-, and 4-(dimethylamino)-9-chloroacridines (II, R = Cl, R1 = NMe2) (III). Condensation of III with diamines gave the title compds. All of them were inactive in Myjamura test for antitumor activity on Ehrlich ascites cancer cells and for anti-mitotic action on germs of Lepidium sativum. Thus, 10 q. 2,4-C1(H2N)C6H3COOH (IV) in 50 ml. 20% Na2CO3 treated at 20.degree. with 6 ml. Me2SO4, stirred 0.5 hr., and heated 1 hr. on a water bath gave 1.1 g. 2,4-Cl(MeNH)C6H3COOH, m. 176-7.degree., and 1.5 g. 2,4-Cl(Me2N)C6H3COOH (V), m. 211-12.degree.. V was also prepd. in 30% yield from 5 g. IV, 7.2 ml. MeI, and 8.1 g. KOH in 15 ml. MeOH, when refluxed 3 hrs. with subsequent addn. of 1.8 ml. MeI and 2 g. KOH during 1-hr. intervals. A mixt. of 0.5 g. V, 0.5 g. PhNH2, 0.4 g. K2CO3, and catalytic amt. of Cu(OAc)2 in 5 ml. iso-AmOH refluxed 1 hr., gave 0.3 g. I (R = NMe2, R1 = H), (VI), m. 171-2.degree. (alc.). A mixt. of 10 g.o-ClC6H4COOH, 9 g. freshly distd. o-Me2NC6H4NH2, 9 g. anhyd. KCO3, 0.01 g. freshly-pptd. Cu, and 70 ml. cyclohexanol heated 5 hrs. at 160.degree. afforded 12.3 g. I, (R = H, R1 = 2-NMe2) (VII), m. 198-200.degree. (C6H6). Similarly prepd. were the following I (R, R1, m.p., and % yield given): H, 4-NMe2, 212-14.degree., 35; H, 3-NMe2, 154.degree., 40. VII (10 g.) in 70 ml. POC13 heated 3 hrs. at 130-40.degree., cooled, and poured onto crushed ice with ammonia gave 7.5 g. III (R1 = 4-NMe2)(VIII), m. 116-18.degree. (cyclohexane). The following III were prepd. (R1, m.p., and % yield given): 1-NMe2, 157-8.degree., 75; 3-NMe2 (IX), 118-19.degree., 30. Similarly, VI heated 0.5 hr. yielded 60% IX. VIII (1.8 g.) and 10 g. PhOH was heated 0.5 hr. on a water bath, cooled, treated with 1.04 ml. Me2N(CH2)3NH2, and heated again for 1.5 hrs. to give 2.8 g. II.3HCl[R = NH(CH2)3-NMe2, R1 = 4-NMe2], m. 150.degree. (decompn.). Similarly the fol-lowing II were prepd. (R,R1, salt, m.p., and % yield given): NH(CH2)3NMe, 2-NMe2, 3HCl, 225-6.degree., 70; NH(CH2)3NMe2, 3-NMe2, 3HCl, 255-6.degree., 80; NH(CH2)4NMe2, 2-NMe2, 3HCl.-H2O, 207-9.degree., 71; NH(CH2)4NMe2, 3-NMe2, 3HCl, 220-1.degree., 82; NH(CH2)4NMe2, 4-NMe2,

3HC1.0.5H2O, 185-7.degree., 60; NHCH-Me(CH2)3NMe2, 2-NMe2, 3C6H3N3O7, 160-2.degree., 70; NHCHMe-(CH2)3NMe2, 3-NMe2, 3HC1, 197-9.degree., 80; NHCHMe(CH2)3-NMe2, 4-NMe2, 3HCl, 168-9.degree., 72. Benzoic acid, 2-anillno-4-(dilmethylamino)-IT3975-58-4, 3-Azabicyclo[3.2.1]octane, 3-amino-1,8,8-trimethyl-ΙΤ 3975-59-5, Acridine, 9-chloro-2-(dimethylamino)- 3975-60-8, Acridine, 3975-61-9, Acridine, 9-chloro-4-9-chloro-3-(dimethylamino)-3975-62-0, Benzoic acid, 2-chloro-4-(methylamino)-(dimethylamino) -3975-63-1, Benzoic acid, 2-chloro-4-(dimethylamino)-3975-65-3, Anthranilic acid, N-[o-(dimethylamino)phenyl]-3975-66-4, Anthranilic acid, N-[p-(dimethylamino)phenyl]-3975-67-5, Anthranilic acid, N-[m-(dimethylamino)phenyl]- 3975-68-6, Acridine, 4-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-, trihydrochloride 3975-69-7, Acridine, 2-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-, trihydrochloride 3975-70-0, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-3-(dimethylamino)-, trihydrochloride 4036-24-2, Acridine, 3-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-, trihydrochloride 4036-25-3, Acridine, 2-(dimethylamino)-9-[[4-(dimethylamino)butyl]amino]-, trihydrochloride 4289-47-8, Acridine, 4-(dimethylamino)-9-[[4-(dimethylamino)butyl]amino]-, 4490-62-4, Acridine, 9-[[4-(diethylamino)-1trihydrochloride methylbutyl]amino]-4-(dimethylamino)-, trihydrochloride 4595-85-1, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-2-(dimethylamino)-4595-89-5, Acridine, 3-(dimethylamino)-9-[[4-(dimethylamino)butyl]amino]-, 4595-90-8, Acridine, 9-[[4-(diethylamino)-1trihydrochloride methylbutyl]amino]-2-(dimethylamino)-, tripicrate (prepn. of) **3975-68-6**, Acridine, 4-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-, trihydrochloride 3975-69-7, Acridine, 2-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-, trihydrochloride 4036-24-2, Acridine, 3-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-, trihydrochloride (prepn. of) RN 3975-68-6 HCAPLUS

Acridine, 4-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-,

trihydrochloride (7CI, 8CI) (CA INDEX NAME)

CN

●3 HC1

#### ●3 HC1

RN 4036-24-2 HCAPLUS

CN Acridine, 3-(dimethylamino)-9-[[3-(dimethylamino)propyl]amino]-, trihydrochloride (7CI, 8CI) (CA INDEX NAME)

#### ●3 HC1

L73 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1965:93856 HCAPLUS

DN 62:93856

OREF 62:16837h,16838a-b

TI Effect of acridine derivatives on the deoxyribonucleic acid content in sarcoma 180 in mice

AU Ledochowski, Zygmunt; Serozynska, Maria; Radzikowski, Czeslaw

CS Polska Akad. Nauk, Gdansk

SO Nowotwory (1964), 14(4), 317-24

DT Journal

LA Polish

CC 68 (Pharmacodynamics)

GI For diagram(s), see printed CA Issue.

The following data were reported for a no. of acridine derivs. (I) administered intraperitoneally (A) or by stomach tube (B) to mice with implanted sarcoma 180 (type of compd., n, R1, daily dosage in mg./kg., total dosage in mg./kg., route of administration, percent tumor inhibition, relative percent decrease of DNA P in the tumor and in the liver given): Ia, 3, 4-OMe, 0.1, 0.7, A, 20, 3, O; Ia, 3, 1-OMe, 0.8, 4, B, 37, -9, -5; Ia, 4, 3-OMe, 1, 4, B, 58, 15, 5; Ia, 4, 2-Me, 1, 3, B, 29, -6, -5; Ia, 4, 1-Me, 1, 3, B, 46, 8, 0; Ia, 4, 3-NO2, 1, 3, B, 14, 14, 5; Ia, 3, 1-Me, 0.1, 0.7, A, 16, 2, 0; Ib, --, 2-OMe, 0.1, 0.3, A, 10, 5, 0; Ia, 4, 1-NMe2, 0.1, 0.7, A, 46, 13, 4; Ia, 3, 8-NO2, 0.25, 1.5 mg./kg., A, 55, 20, 6. As a reference, 6-mercaptopurine was given similarly (same data given): 75 mg./kg. daily for 6 days, A, 68, 51, 24; 75 mg./kg. daily for 8 days, A, 75, 55, 24; 100 mg./kg. daily, A, 80, 59, 25. Tumor growth inhibition due to I was considered to be unrelated to DNA synthesis.

IT Deoxyribonucleic acids

(in sarcoma, effect of acridine derivs. on)

IT Sarcoma

(inhibitors of, acridine derivs. as)

3323-61-3, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-ΙT 3324-09-2, Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methoxy-3505-65-5, Acridine, 9-[[4-(dimethylamino)butyl]amino]-3-methoxy-4292-63-1, Acridine, 9-[[4-(dimethylamino)butyl]amino]-3-nitro-4292-64-2, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methoxy-4533-37-3, Acridine, 9-[[4-(dimethylamino)butyl]amino]-2-methyl-4533-38-4, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-4533-39-5, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-nitro-4552-23-2, Acridine, 9-[[4-(dimethylamino)butyl]amino]-1-methyl-4574-03-2, Acridine, 1-(dimethylamino)-9-[[4-(dimethylamino)butyl]amino]-(sarcoma inhibition by, deoxyribonucleic acid metabolism and) 3323-61-3, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-IT 3324-09-2, Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methoxy-4533-38-4, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-(sarcoma inhibition by, deoxyribonucleic acid metabolism and) RN 3323-61-3 HCAPLUS CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy- (7CI, 8CI) (CA INDEX NAME)

RN 3324-09-2 HCAPLUS
CN 1,3-Propanediamine, N'-(4-methoxy-9-acridinyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 4533-38-4 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl- (7CI, 8CI) (CA INDEX NAME)

L73 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2003 ACS AN 1964:495373 HCAPLUS

DN 61:95373

OREF 61:16622d-e
TI Relation between chemical structure and tumor-inhibiting activity of acridine derivatives

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Ledochowski, Z.; Ledochowski, A.; Radzikowski, C.
ΑU
CS
     Politech. Univ., Gdansk, Pol.
    Acta, Unio Intern. Contra Cancrum (1964), 20(1-2), 122-5
SO
DT
     Journal
LΑ
     English
     68 (Pharmacodynamics)
CC
     A no. of acridine derivs. were tested in vivo for anti-tumor activity in
AΒ
    mice. Some active compds. had the N, N-dimethylaminobutylamine side chain
     at position 9. While compds: with Br in the 1 or 3 position were active,
     their Cl analogs were inactive. Replacement of 2 Et for 2 Me groups at
     the terminal N atom reduced the activity. In some instances, the nearest
    homologs of compds. with dimethylaminobutylamine were active. Some
     derivs. of azaacridine, benzacridine, quinoline, and intermediates in the
     acridine synthesis were inactive.
ΙT
    Neoplasms
        (inhibitors of, acridine derivs. as)
    Acridine, 1-chloro-9-[[4-(diethylamino)-1-methylbutyl]amino]-7-methoxy-
ΙT
        (as neoplasm inhibitor)
     970-09-2, Acridine, 9-[[4-(dimethylamino)butyl]amino]-
                                                               977-95-7,
IT
    Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]- 1046-70-4,
    Acridine, 9-[[4-(diethylamino)butyl]amino]-
                                                   1049-03-2, Acridine,
     1-bromo-9-[[4-(dimethylamino)butyl]amino]-7-methoxy-
                                                            1908-39-0,
    Acridine, 6-bromo-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-
     13324-44-2, Acridine, 1-bromo-9-[[4-(diethylamino)-1-methylbutyl]amino]-7-
               13365-36-1, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-
     15016-04-3, Acridine, 3-chloro-9-[[4-(dimethylamino)butyl]amino]-
     15016-06-5, Acridine, 3-bromo-9-[[4-(dimethylamino)butyl]amino]-
     94379-31-4, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-
    methoxy- 94379-61-0, Acridine, 1-chloro-9-[[3-
     (dimethylamino)propyl]amino]-7-methoxy-
                                               94804-71-4, Acridine,
     1-chloro-9-[[4-(dimethylamino)butyl]amino]-7-methoxy-
                                                              94804 - 73 - 6,
    Acridine, 6-chloro-9-[[4-(dimethylamino)butyl]amino]-1-methoxy-
     95129-10-5, Acridine, 1-bromo-9-[[4-(diethylamino)butyl]amino]-7-methoxy-
     95433-79-7, Acridine, 6-bromo-9-[[4-(diethylamino)-1-methylbutyl]amino]-2-
                95949-46-5, Acridine, 6-bromo-9-[[4-(diethylamino)butyl]amino]-
                  99061-33-3, Acridine, 6-bromo-9-[[4-
     (dimethylamino)butyl]amino]-2-ethoxy-
        (as neoplasm inhibitor)
     4292-62-0, Acridine, 9-[[4-(dimethylamino)butyl]amino]-4-methoxy-
IT
        (neoplasm inhibition by)
     94379-31-4, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-
IT
    methoxy- 94379-61-0, Acridine, 1-chloro-9-[[3-
     (dimethylamino)propyl]amino]-7-methoxy-
        (as neoplasm inhibitor)
RN
     94379-31-4 HCAPLUS
    Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy- (6CI, 7CI)
CN
       (CA INDEX NAME)
Me_2N - (CH_2)_3 - NH
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RN 94379-61-0 HCAPLUS CN Acridine, 1-chloro-9-[[3-(dimethylamino)propyl]amino]-7-methoxy- (7CI) (CA INDEX NAME)

9-chloro-3-methyl-

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ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2003 ACS
     1964:411263 HCAPLUS
     61:11263
OREF 61:1830d-g
     Tumor-inhibiting compounds. XXI. Some N9-derivatives of 1 (and 2,3,or
ΤI
     4)-methyl-9-aminoacridine
     Ledochowski, Andrzej; Stefanska, Barbara; Kozinska, Barbara
ΑU
CS
     Inst. Tech., Gdansk, Pol.
SO
     Roczniki Chem. (1964), 38(3), 421-4
DT
     Journal
     Unavailable
LA
CC
     37 (Heterocyclic Compounds (One Hetero Atom))
GΙ
     For diagram(s), see printed CA Issue.
     cf. CA 60, 14472a. 4-Methyl-9-(4-dimethylaminobutylamino)acridine-2HCl
     was active when tested on sarcoma 180 in mice. A mixt. (30 g.) contg. 1-
     and 3-methyl-9-chloroacridine was crystd. from C6H6 to give 10 g.
     1-methyl-9-chloroacridine, m. 93-5.degree. (C6H6). The filtrate evapd. to
     dryness and refluxed with 100 ml. N HCl gave after 15 min. a ppt., m.
     304-6.degree., and after 25 min. 3-methylacridone (I), m. 332-5.degree..
     I when chlorinated gave 3 g. 3-methyl-9-chloroacridine (II), m.
     118-19.5.degree. (H2O-EtOH). II (5.6 g.) and 10 g. PhOH was heated 30
     min. on a water bath to give 6.4 g. 3-methyl-9-phenoxyacridine (III), m.
     141-3.degree. (C6H6). A mixt. of 2.8 g. III and 5 g. PhOH was heated 30
     min. on a water bath, cooled, treated with 1.2 g. H2NCH2CH2NMe2.HCl and
     heated again 1.5 hrs. to give 3-methyl-9-(N,N-methyl-9)
     dimethylaminoethylamino)acridine dihydrochloride, m. 240-1.degree. (anhyd.
     EtOH). The following IV.xHCl were similarly prepd. (R, position of Me
     group, x, m.p., and % yield given): NHNMe2, 2, 1, 230-1.degree., 52;
     NHNMe2, 4, 1, 240.degree., 55; NH(CH2)2NMe2, 1, 2, 239-40.degree., 86;
     NH(CH2)2NMe2, 2, 2, 254-6.degree., 80; NH(CH2)2NMe2, 4, 2, 250-1.degree.,
     91; NH(CH2)3NMe2, 1, 2, 246-7.degree., 75; NH(CH2)3NMe2, 2, 2,
     242-3.degree., 84; NH(CH2)3NMe2, 3, 2, 236-7.degree., 90; NH(CH2)3NMe2, 4,
     2, 243-4.degree., 80; NH(CH2)4NMe2, 1, 2, 251-2.degree., 78; NH(CH2)4NMe2,
     2, 2, 250-1.degree., 63; NH(CH2)4NMe2, 3, 2, 221-2.degree., 84;
     NH(CH2)4NMe2, 4, 2, 241-2.degree., 73; NHCHMe(CH2)3NEt2, 1, 2,
     150.degree., 79; NHCHMe(CH2)3NEt2, 2, - (picrate), 182-3.degree., 85;
     NHCHMe(CH2)3NEt2, 3, 2, 220.degree., 80; NHCHMe(CH2)3NEt2, 4, 2,
     130.degree., 63; PhO, 1,-, 161-3.degree., 95; PhO, 2,-, 133-4.degree., 85;
     PhO, 3, -, 141-3.degree., 90; PhO, 4, -, 121-2.degree., 90.
ΙT
     Cancer
        (inhibitors of)
     Benzo [f] quinoline, 1,2,3,4,4a,5,6,10b-octahydro-4-methyl-, picrate, cis-
ΙT
     Benzo [f] quinoline, 1,2,3,4,4a,5,6,10h-octahydro-, picrate, cis-
     Cyclohexylamine, 2-phenyl-, picrate, cis-
     Cyclohexylamine, 2-phenyl-, picrate, trans-
     Phenanthridine, 1,2,3,4,4a,5,6,10b-octahydro-, picrate, cis-
     Phenanthridine, 1,2,3,4,4a,5,6,10b-octahydro-5-methyl-, picrate, trans-
ΙT
     Nitrogen compounds
        (heterocyclic)
ΙT
     34273-93-3, Acridine, 9-aminomethyl-
        (derivs., as cancer inhibitors)
     16492-08-3, Acridine, 9-chloro-1-methyl-
                                                16492-10-7, Acridine,
ΙT
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22147-09-7, Cyclohexylamine, 2-phenyl-, cis-

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22148-43-2, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methyl-
                                                      23541-67-5,
23220-94-2, Formamide, N-(2-phenylcyclohexyl)-, cis-
Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-4-methyl-,
dihydrochloride
                  23541-69-7, Acridine, 9-[[4-(diethylamino)-1-
methylbutyl]amino]-3-methyl-, dihydrochloride 23541-70-0,
Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-, dihydrochloride
23541-71-1, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-3-methyl-,
dihydrochloride 23552-15-0, Acridine, 9-[[3-
(dimethylamino)propyl]amino]-3-methyl-, dihydrochloride 23552-20-7
 Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methyl-, dihydrochloride
23552-21-8, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-2-methyl-,
                  23552-22-9, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-ochloride 23552-23-0, Acridine, 9-[[4-
dihydrochloride
4-methyl-, dihydrochloride
(dimethylamino)butyl]amino]-2-methyl-, dihydrochloride
                                                          23552-24-1,
Acridine, 9-[[4-(dimethylamino)butyl]amino]-4-methyl-, dihydrochloride
23552-25-2, Acridine, 9-[[4-(dimethylamino)butyl]amino]-1-methyl-,
                  23552-26-3, Acridine, 9-[[4-(dimethylamino)butyl]amino]-
dihydrochloride
3-methyl-, dihydrochloride 23552-29-6, Acridine,
9-[[3-(dimethylamino)propyl]amino]-4-methyl-, dihydrochloride
57165-19-2, 9-Acridanone, 3-methyl-
                                      61078-23-7, Acridine,
1-methyl-9-phenoxy-
                      61078-24-8, Acridine, 2-methyl-9-phenoxy-
61078-25-9, Acridine, 4-methyl-9-phenoxy- 63211-78-9, Phenanthridine,
1,2,3,4,4a,5,6,10b-octahydro-5-methyl-, cis-
                                               90679-75-7, Phenanthridine,
                     92028-13-2, Phenanthridine, 1,2,3,4-tetrahydro-,
1,2,3,4-tetrahydro-
                94578-46-8, Acridine, 3-methyl-9-phenoxy-
                                                             95170-46-0,
Phenanthridine, 1,2,3,4-tetrahydro-, picrate
                                               95700-05-3, Acridine,
9-[[2-(dimethylamino)ethyl]amino]-1-methyl-, dihydrochloride
                                                                96169-88-9,
Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-1-methyl-,
                  96712-05-9, Acridine, 9-[[4-(diethylamino)-1-
dihydrochloride
methylbutyl]amino]-2-methyl-, dipicrate
                                          97079-27-1, Benzo [f] quinoline,
                                      98075-30-0, Acridine,
1,2,3,4,7,8,9,10-octahydro-, picrate
9-(2,2-dimethylhydrazino)-4-methyl-, hydrochloride
                                                      98089-38-4, Acridine,
9-(2,2-dimethylhydrazino)-2-methyl-, hydrochloride
   (prepn. of)
23541-70-0, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-
, dihydrochloride 23552-15-0, Acridine, 9-[[3-
(dimethylamino)propyl]amino]-3-methyl-, dihydrochloride 23552-20-7
, Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methyl-, dihydrochloride
23552-29-6, Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methyl-
 dihydrochloride
   (prepn. of)
23541-70-0 HCAPLUS
Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methyl-, dihydrochloride
(7CI, 8CI) (CA INDEX NAME)
```

ΤT

RN

CN

#### ●2 HCl

RN 23552-15-0 HCAPLUS
CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methyl-, dihydrochloride
(7CI, 8CI) (CA INDEX NAME)

#### ●2 HC1

RN 23552-20-7 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methyl-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

# ●2 HCl

RN 23552-29-6 HCAPLUS

CN 1,3-Propanediamine, N,N-dimethyl-N'-(4-methyl-9-acridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

# ●2 HC1

L73 ANSWER 6 OF 16 HCAPLUS, COPYRIGHT 2003 ACS

AN 1964:82776 HCAPLUS

DN 60:82776

OREF 60:14471g-h,14472a

TI Tumor-inhibiting compounds. XVIII. Investigations on the relationship between antitumor activity and the chemical structure of some N-substituted acridones and thioacridones. 1

AU Ledochowski, Zygmunt; Wysocka-Skrzela, Barbara

CS Polish Acad. Sci., Gdansk

SO Roczniki Chem. (1964), 38(2), 225-7

DT Journal

LA Unavailable

CC 37 (Heterocyclic Compounds (One Hetero Atom))

AB I were synthesized as potential anticancer agents. Thus, a soln. of 3.9

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q. 9-acridone, 2.4 q. Cl(CH2)3NMe, 1 g. powd. NaNH2, and 20 ml. anhyd.
     PhMe was heated 4 hrs. at 130.degree., and the product isolated as the HCl
     salt yielded 78% I.HCl [R = (CH2)3NMe2], m. 220-1.degree. (alc.).
     Similarly prepd. were the following I (R, no. of HCl mols., m.p., and %
     yield given): (CH2)2NMe2, 1, 213-14.degree., 61; (CH2)2NEt2, 1,
     234-5.degree., 58; CH(CH2NMe2)2, 0, 109-10.degree., 66; CH(CH2NEt2)2, 0, .
     115-16.degree., 64.
ΙT
     Neoplasms
        (inhibitors of)
ΙT
     Neoplasms
        (inhibitors of, 9-acridanones and 9-acridanthiones as)
ΙT
     9-Acridanone, 10-[2-(diethylamino)-1-[(diethylamnino)-methyl]-
IT
     578-95-0, 9-Acridanone
        (derivs., as neoplasm inhibitors)
     6540-78-9, 9-Acridanthione
IT
        (derivs., for use as neoplasm inhibitors)
     94912-92-2, 9-Acridanone, 10-[2-(dimethylamino)-1-[(dimethylamino)-
ΙT
     methyl]ethyl]-
                      100213-31-8, 9-Acridanone, 10-[3-(dimethylamino)propyl]-,
     hydrochloride
        (prepn. of)
     ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2003 ACS
L73
ΑN
     1964:82775 HCAPLUS
- DN
     60:82775
OREF 60:14471c-g
     Tumor-inhibiting compounds. XVI. Some N9-derivatives of 1-, 2-, 3-, and
     4-methoxy-9-aminoacridine
     Ledochowski, Andrzej; Kozinska, Barbara; Stefanska, Barbara
AU
CS
     Inst. Tech., Gdansk, Pol.
SO
     Roczniki Chem. (1964), 38(2), 219-24
DT
     Journal
ĹΑ
     Unavailable
     37 (Heterocyclic Compounds (One Hetero Atom))
CC
     For diagram(s), see printed CA Issue.
GΙ
     cf. CA 60, 1697a. A mixt. of 7.8 g. o-ClC6H4CO2H, 7.4 g. m-MeOC6H4NH2,
AB
     6.9 g. anhyd. K2CO3, 0.08 g. Cu dust, and 100 ml. iso-AmOH was refluxed
     3.5 hrs. to give 6.2 g. o-HO2CC6H4NHC6H4R (I) (R = 3-MeO) (II), m.
     132-3.degree. (EtOH-H2O). Similarly prepd. were the following I (R, m.p.,
     and % yield given): 2-MeO, 176.degree., 30; 4-MeO, 184.degree., 67. A
     soln. of 4.8 g. II in 11 ml. POCl3 was heated 2 hrs. at 120.degree.,
     cooled, and poured into a mixt. of NH4OH and ice to give 4.2 g. of a mixt.
     contg. III (R = Cl, R1 = 1-MeO) (IV), and III (R = Cl, R1 = 3-MeO) (V), m.
     120-60.degree.. The mixt. extd. 5 min. with boiling alc. NH3 yielded 24%
     IV, m. 125-7.degree. (cyclohexane), and 53% V, m. 166-8.degree. (C6H6).
     The following III (R = Cl) were prepd. (R1, m.p., and % yield given):
     2-MeO, 124-6.degree., 60; 4-MeO, 154.degree., 80. V (5.8 g.) heated with
     10 g. PhOH during 30 min. on a water bath gave 5.4 g. III (R = PhO, R1 =
     3-MeO), m. 152-3.degree. (C6H6). Similarly prepd. were III (R = PhO) (R1,
     m.p., and % yield given): 1-MeO, 151.degree. (cyclohexane), 80; 2-MeO,
     163-4.degree., 94; 4-MeO, 149-50.degree., 90. A mixt. of 2.44 g. V and 5
     g. PhOH was heated 30 min. on a water bath, cooled, treated with 1.5 ml.
     Me2N(CH2)3NH2, and heated 1.5 hrs. and the product isolated as the HCl
     salt to yield 76% III. HCl (R = NH(CH2)3NMe2, R1 = 3-MeO), m.
     247-9.degree. (anhyd. EtOH). Similarly prepd. were the following III (R,
     R1, no. of HCl mols., m.p. salt, and % yield given): NHNMe2, 1-MeO, 1,
     198-9.degree., 60; NH(CH2)2NMe2, 1-MeO, 2, 228-30.degree., 80;
     NH(CH2)4NMe2, 1-MeO, 2, 230.degree. (decompn.), 59; NHCHMe(CH2)3NEt2,
     1-MeO, 2, 138-40.degree., 86; NHNMe2, 2-MeO, 1, 213-14.degree., 64,
     NH(CH2)2NMe2, 2-MeO, 2, 253-4.degree., 63; NH(CH2)3NMe2, 2-MeO, 2,
     240-2.degree., 84; NH(CH2)4NMe2, 2-MeO, 2, 231.5-32.degree., 80;
     NHCHMe(CH2)3NEt2, 2-MeO, 2, 235-6.degree., 78; NHC6H4NMe2, 2-MeO, 0,
     188-9.degree., -; NHC6H4NMe2, 2-MeO, 2, 227-9.degree., 43; NHNMe2, 3-MeO,
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0, 178-9.degree., -; NHNMe2, 3-MeO, 1, 198-200.degree., 81; NH(CH2)2NMe2,

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3-MeO, 2, 222-4.degree., 80; NH(CH2)3NMe2, 3-MeO, 2, 212-13.5.degree., 80;
     NH(CH2)4NMe2, 3-MeO, 2, 180-2.degree., 66; NHCHMe(CH2)3NEt2, 3-MeO, 2,
     203-5.degree., 93; NHNMe, 4-MeO, 1, 186-7.degree., 19; NH(CH2)2NMe2,
     4-MeO, 2, 225-6.degree., 33; NH(CH2)3NMe2, 4-MeO, 2, 225-6.degree., 30;
     NH(CH2)4NMe2, 4-MeO, 2(VI), 204-5.5.degree., 30; NHC6H4NMe2, 4-MeO,
     2,200.degree. (decompn.), 49; NHCHMe(CH2)3NEt2, 4-MeO, -, (picrate, m.
     160-1.degree.), 66. VI was active when tested on sarcoma 180 in mice.
ΙT
     Neoplasms
        (inhibitors of)
     Acridine, 9-[(dimethylamino)anilino]-1-methoxy-, dihydrochloride
ΙT
                                              10496-96-5, Acridine,
     3407-99-6, Acridine, 9-amino-2-methoxy-
IT
     9-amino-4-methoxy- 23045-25-2, Acridine, 9-amino-1-methoxy-
     23045-26-3, Acridine, 9-amino-3-methoxy-
        (derivs.)
     13278-32-5, Anthranilic acid, N-(o-methoxyphenyl)-
                                                          13501-67-2,
ΙT
     Anthranilic acid, N-(p-methoxyphenyl) - 16492-12-9, Acridine,
                           16492-13-0, Acridine, 9-chloro-2-methoxy-
     9-chloro-1-methoxy-
     16492-14-1, Acridine, 9-chloro-3-methoxy- 16492-15-2, Acridine,
                           22089-29-8, Acridine, 9-(2,2-dimethylhydrazino)-2-
     9-chloro-4-methoxy-
     methoxy- 23552-01-4, Acridine, 9-[[3-
     (dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride
                                                                23552-02-5.
     Acridine, 9-[[4-(dimethylamino)butyl]amino]-4-methoxy-, dihydrochloride
     23552-04-7, Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-
     , dihydrochloride 23552-06-9, Acridine, 9-[[3-
     (dimethylamino)propyl]amino]-3-methoxy-, dihydrochloride
                                                                23552-07-0,
     Acridine, 9-[[2-(dimethylamino)ethyl]amino]-2-methoxy-, dihydrochloride
     23552-09-2, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-4-methoxy-,
                       23552-11-6, Acridine, 9-[[4-(dimethylamino)butyl]amino]-
     dihydrochloride
                                 23552-12-7, Acridine, 9-[[4-
     1-methoxy-, dihydrochloride
     (dimethylamino)butyl]amino]-3-methoxy-, dihydrochloride
                                                               23552-16-1,
     Acridine, 9-[[2-(dimethylamino)ethyl]amino]-1-methoxy-, dihydrochloride
     23552-18-3, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methoxy-
                         23552-19-4, Acridine, 9-[[4-(diethylamino)-1-
     , dihydrochloride
     methylbutyl]amino]-3-methoxy-, dihydrochloride 23552-28-5,
     Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methoxy-, dihydrochloride
     24430-81-7, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-4-methoxy-
                       27693-73-8, Anthranilic acid, N-(m-methoxyphenyl)-
       hydrochloride
     61078-20-4, Acridine, 2-methoxy-9-phenoxy-
                                                 61078-21-5, Acridine,
     3-methoxy-9-phenoxy-
                            61078-22-6, Acridine, 4-methoxy-9-phenoxy-
     94578-59-3, Acridine, 1-methoxy-9-phenoxy-
                                                  96001-53-5, Acridine,
     9-[4-(dimethylamino)butyl]amino]-2-methoxy-, dihydrochloride
                                                                    96712-06-0,
     Acridine, 9-[[4-(diethylamino)-1-methylbutyl]-amino]-1-methoxy-, dipicrate
     98075-35-5, Acridine, 9-(2,2-dimethylhydrazino)-1-methoxy-, hydrochloride
     98075-36-6, Acridine, 9-(2,2-dimethylhydrazino)-2-methoxy-, hydrochloride
     98075-37-7, Acridine, 9-(2,2-dimethylhydrazino)-3-methoxy-, hydrochloride
     98075-38-8, Acridine, 9-(2,2-dimethylhydrazino)-4-methoxy-, hydrochloride
     106977-77-9, Acridine, 9-[(dimethylamino)anilino]-3-methoxy-,
                       106977-78-0, Acridine, 9-[(dimethylamino)anilino]-3-
     dihydrochloride
               107632-28-0, Acridine, 9-[[2-(dimethylamino)ethyl]amino]-3-
     methoxy-
     methoxy-, dihydrochloride
        (prepn. of)
     23552-01-4, Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methoxy-
ΙT
      dihydrochloride 23552-04-7, Acridine, 9-[[3-
     (dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride
     23552-06-9, Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methoxy-
      dihydrochloride 23552-28-5, Acridine, 9-[[3-
     (dimethylamino)propyl]amino]-4-methoxy-, dihydrochloride
        (prepn. of)
RN
     23552-01-4 HCAPLUS
     Acridine, 9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride
CN
     (7CI, 8CI) (CA INDEX NAME)
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# ● 2 HCl<sup>-</sup>

RN 23552-04-7 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

# ●2 HCl

RN 23552-06-9 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-3-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

# ●2 HCl

RN 23552-28-5 HCAPLUS

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-4-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

#### HC1

ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2003 ACS

ΑN 1964:78130 HCAPLUS

DN 60:78130

OREF 60:13755h,13756a-d

Antineoplastic compounds. II. Effect of 38 compounds of the groups III-X ΤI on the growth of Crocker sarcoma in mice

ΑU Radzikowski, Czeslaw; Ledochowski, Zygmunt; Ledochowski, Andrzej; Ruprecht, Maria; Hrabowska, Maria

CS Akad. Med., Gdansk, Pol.

Patol. Polska (1962), 13(1), 39-58 SO

DT Journal

LA Unavailable

CC

68 (Pharmacodynamics) cf. CA 53, 9469i. Oral administration of several substituted acridines to AB mice with Crocker sarcoma gave the following results (substituent(s), daily dosage in mg., total dosage in mg., % inhibition given): 3-Cl, 5-MeO, 9-R, 3, 21, 15; 3-Cl, 6-MeO, 9-R, 3, 21, 0; 3-Cl, 8-MeO, 9-R, 3, 21, 55; 3-Cl, 7-MeO, 9-R, 3, 21, 33; same compd., 3, 36, 76; 1-Cl, 7-MeO, 9-R, 3, 21, 17; 2-C1, 7-MeO, 9-R, 3, 36, 16; 4-C1, 7-MeO, 9-R, 3, 21, 43; 1-C1; 9-R, 3, 36, -7; 3-C1, 9-R, 3, 36, -27; 1-Br, 9-R, 3, 36, -7; 3-Br, 9-R, 3, 21, 40; 9-R, 3, 36, 73; 2-MeO, 9-R, 3, 36, 38 (in all the compds. above, R = Me2N(CH2)4NH; 3-Cl, 7-MeO, 9-H2N(CH2)4NH, 3, 18, 0; 3-Cl, 7-MeO, 9-Et2N(CH2)3CHMeNH [bis(methanesulfonate)], 0.3 subcutaneously, 3.6, 66; 3-Cl, 7-MeO, 9-Me2N(CH2)3NH, 3, 36, 8; 3-Cl, 7-MeO, 9-(HOCH2CH2)2N(CH2)4NH, 3, 18, 0; 3-Cl, 7-MeO, 10-oxide, 9-Et2N(.fwdarw. 0)(CH2)3CHMeNH, 3, 18, 29; 1-Br, 7-MeO, 9-Et2N(CH2)4NH, 3, 36, -25; 1-Br, 7-MeO, 9-Et2N(CH2)10NH, 3, 21, -30. Other acridine derivs. tested were (same data given): N, N'-bis(3-chloro-7-methoxy-9-acridyl)putrescine, 3, 18, 0; N, N'-bis(9-acridyl)putrescine, 3, 18, -10; 12-[(4dimethylamino) butylamino] -benz[.alpha.] acridine, 1.5, 10.5, 4; 12-[(4-dimethylamino)butylamino]benz-[c]acridine, 1.5, 10.5, 15. All of the compds. listed above were tested in the form of the di-HCl salts. The next series of expts. involved derivs. of phenylanthranilic acid of the general structure 2,5- NaO2C(R1)C6H3 N(R)C6H4R2-4 (R, R1, R2, and the same biol.-testing data as above given): H, H, H, 3, 36, -46; Ph, H, H, 3, 36, -12; Me, H, H, 3, 36, 49; H, Br, H, 3, 18, 8; H, Cl, MeO, 3, 36, 55. The biol. testing comprised also certain intermediates and quinoline analogs (same biol. data as above): anthranilic acid, 3, 36, -9; 2,4-dichlorobenzoic acid, 3, 36, 31; N,N-diethylputrescine, 3, 36, 8; N, N-dimethylputrescine, 3, 36, 66; N-(2-diethylaminoethyl)-4aminobenzamide-2HCl, 3, 36, 42; N-(4-quinolyl)-N',N'-dimethyl putrescine-2HCl, 3, 36, 13; N-(3-chloro-4-quinolyl)-N',N'dimethylputrescine-2HCl, 3, 36, 26; N-(7-chloro-4-quinolyl)-N',N'-dimethyl-1,3-diaminopropane-2HCl, 3, 36, -31; N-(7-bromo-4-quinolyl)-N',N'-dimethyl-1,3-diaminopropane-2HCl, 3, 36, -32. A discussion is presented of the relation between the chem. structure and the biol. activity.

```
(inhibitors of, acridine derivs., quinoline analogs, etc., as)
    Acridine, 1-chloro-9-[[4-(dimethvlamino)butyl]amino]-, dihydroohloride
ΙT
    Acridine, 6-chloro-9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methoxy-
        (quinacrine), dimethanesulfonate, dihydrochloride
    Acridine, 6-chloro-9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methoxy-
        (quinacrine), dioxide, dihydrochloride
    Benzamide, p-amino-N-[2-(diethylamino)ethyl]-, dihydrochloride
    Ethanol, 2,2'-[[4-[(6-chloro-2-methoxy-9-acridinyl)amino]butyl]imino]di-,
       dihydroehloride
        (sarcoma inhibition by)
    Benz[a]acridino, 12-[[4-(dimethylamino)butyl]amino]-, dihydrochloride
IT
        (sarcroma inhibition by)
    959-45-5, Piperidine, 1-(o-bromobenzyl)-2,2,6,6-tetramethyl-,
ΙT
    hydrochloride
        (as nerve center-blocking agent)
    50-84-0, Benzoic acid, 2,4-dichloro-
                                            91-38-3, Anthranilic acid,
    4-chloro-N-(p-methoxyphenyl)-
                                     91-40-7, Anthranilic acid, N-phenyl-
    118-92-3, Anthranilic acid 3529-10-0, 1,4-Butanediamine, N,N-dimethyl-
    5636-91-9, Acridine, 6-chloro-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-
                        17626-44-7, Anthranilic acid, N, N-diphenyl-
     , dihvdrochloride
    19218-86-1, Anthranilic acid, 4-bromo-N-phenyl-
                                                       23551-98-6, Acridine,
    9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride
                                                           23551-99-7,
    Acridine, 3-bromo-9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride
    23552-00-3, Acridine, 6-chloro-9-[[4-(dimethylamino)butyl]amino]-1-methoxy-
      dihydrochloride
                        27431-62-5, 1,4-Butanediamine, N,N-diethyl-
    35555-83-0, Acridine, 9,9'-(tetramethylenediimino)di-, dihydrochloride
    58903-55-2, Acridine, 9,9'-(tetramethylenediimino)bis[6-chloro-2-methoxy-
    59962-52-6, Acridine, 6-chloro-9-[[3-(dimethylamino)propyl]amino]-
    2-methoxy-, dihydrochloride
                                   73323-82-7, Anthranilic acid,
                          93896-89-0, Quinoline, 7-bromo-4-[[3-
    N-methyl-N-phenyl-
                                                      93897-10-0, Quinoline,
     (dimethylamino)propyl]amino]-, dihydrochloride
     7-chloro-4-[[3-(dimethylamino)propyl]amino]-, dihydrochloride
    94204-64-5, Ouinoline, 3-chloro-4-[[4-(dimethylamino)butyl]amino]-,
                       94296-96-5, Quinoline, 4-[[4-(dimethylamino)butyl]amino]-
    dihydrochloride
                         95426-40-7, Acridine, 9-[(4-aminobutyl)amino]-6-chloro-
     , dihydrochloride
     2-methoxy-, dihydrochloride 95428-48-1, Acridine, 1-bromo-9-[[4-
     (dimethylamino)butyl]amino]-, dihydrochloride
                                                     95428-60-7, Acridine,
     3-chloro-9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride
                                                                   95946-18-2,
    Acridine, 1-chloro-9-[[4-(dimethylamino)butyl]amino]-7-methoxy-,
                       95946-19-3, Acridine, 2-chloro-9-[[4-
    dihydrochloride
     (dimethylamino)butyl]amino]-7-methoxy-, dihydrochloride
                                                               95946-20-6,
    Acridine, 3-chloro-9-[[4-(dimethylamino)butyl]amino]-5-methoxy-,
                       95946-21-7, Acridine, 3-chloro-9-[[4-
    dihydrochloride
     (dimethylamino)butyl]amino]-6-methoxy-, dihydrochloride
                                                               95946-22-8,
    Acridine, 5-chloro-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-,
                       95949-45-4, Acridine, 1-bromo-9-[[4-
    dihydrochloride
     (diethylamino)butyl]amino]-7-methoxy-, dihydrochloride
                                                              96001-53-5,
    Acridine, 9-[4-(dimethylamino)butyl]amino]-2-methoxy-, dihydrochloride
     96370-52-4, Benz[c]acridine, 9-[[4-(dimethylamino)butyl]amino]-,
    dihydrochloride
                       96868-08-5, Acridine, 1-bromo-9-[[10-
     (diethylamino)decyl]amino]-7-methoxy-, dihydrochloride
        (sarcoma inhibition by)
     59962-52-6, Acridine, 6-chloro-9-[[3-(dimethylamino)propyl]amino]-
     2-methoxy-, dihydrochloride
        (sarcoma inhibition by)
RN
     59962-52-6 HCAPLUS
     1,3-Propanediamine, N'-(6-chloro-2-methoxy-9-acridinyl)-N,N-dimethyl-,
CN
     dihydrochloride (9CI) (CA INDEX NAME)
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#### ●2 HC1

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L73
    ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2003 ACS
AN
     1963:465080 HCAPLUS
     59:65080
DN
OREF 59:12046h,12047a
     Tumor-inhibiting compounds in the group of 9-aminoacridine derivatives
TΙ
     Ledochowski, Z.; Ledochowski, A.; Radzikowski, C.
ΑU
CS
     Politech., Danzig, Pol.
     Bull. Acad. Polon. Sci., Ser. Sci. Chim. (1961), 9, 179-82
SO
DT
     Journal
     English
LA
CC
     68 (Pharmacodynamics)
     A total of 49 derivs. of 9-aminoacridine, 27 derivs. of
AΒ
     N-phenylanthranilic acid, and 21 derivs. of 9-chloroacridine were prepd.
     and investigated as to their tumor-inhibiting activity on mice with
     Crocker sarcoma. A correlation existed between the structure of the
     examd. compds. and their tumor-inhibiting activity. Of the 6 compds.
     which proved to be active, 5 were derivs. of N,N-dimethylputrescine and
     only one a deriv. of N, N-dimethyl-1, 3-diaminopropane; the derivs. contg.
     other amines in position 9 were inactive. The activity is usually
     suppressed by the substitution of Cl for Br in position 1 or 3, H for Br,
     and Et for the Me group at the terminal N of the side chain.
ΙΤ
     Neoplasms
        (inhibitors of, 9-aminoacridine deriv. as)
ΙT
     Sarcoma
        (inhibitors of, 9-aminoacridine derivs. as)
ΙT
     90-45-9, Acridine, 9-amino-
        (derivs., as neoplasm inhibitors)
ΙT
     23551-95-3, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-
     methoxy-, dihydrochloride
                                23551-96-4, Acridine, 1-bromo-9-[[4-
     (dimethylamino)butyl]amino]-7-methoxy-, dihydrochloride
                                                              23551-97-5,
     Acridine, 6-bromo-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-,
                      23551-98-6, Acridine, 9-[[4-(dimethylamino)butyl]amino]-
     dihydrochloride
      dihydrochloride
                        23551-99-7, Acridine, 3-bromo-9-[[4-
     (dimethylamino)butyl]amino]-, dihydrochloride
                                                     23552-00-3, Acridine,
     6-chloro-9-[[4-(dimethylamino)butyl]amino]-1-methoxy-, dihydrochloride
     95428-49-2, Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]-amino]-
     2-methoxy-, dihydrochloride
                                  95618-14-7, Acridine, 1-bromo-9-[[2-
     (dimethylamino)ethyl]amino]-7-methoxy-, dihydrochloride
                                                                95618-15-8,
     Acridine, 6-bromo-9-[[2-(dimethylamino)ethyl]amino]-2-methoxy-,
     dihydrochloride
                      99061-34-4, Acridine, 1-bromo-9-[[5-
     (dimethylamino)pentyl]amino]-7-methoxy-, dihydrochloride
     Acridine, 6-bromo-9-[[5-(dimethylamino)pentyl]amino]-2-methoxy-,
     dihydrochloride
        (neoplasm inhibition by)
     50-35-1, Phthalimide, N-(2,6-dioxo-3-piperidyl)-
ΙT
        (neoplasm response to)
ΙT
     23551-95-3, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-
     methoxy-, dihydrochloride 95428-49-2, Acridine,
     6-bromo-9-[[3-(dimethylamino)propyl]-amino]-2-methoxy-, dihydrochloride
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(neoplasm inhibition by)

RN 23551-95-3 HCAPLUS

CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride (6CI, 7CI, 8CI) (CA INDEX NAME)

### ●2 HCl

RN 95428-49-2 HCAPLUS

CN Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-, dihydrochloride (6CI, 7CI) (CA INDEX NAME)

#### •2 HCl

L73 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1963:464399 HCAPLUS

DN 59:64399

OREF 59:11935h,11936a-b

TI Experimental approaches to the chemotherapy of Trichomonas

AU Ryley, J. F.; Stacey, G. J.

CS Imp. Chem. Inds., Ltd., Macclesfield, UK

SO Parasitology (1963), 53, 303-20

DT Journal

LA Unavailable

CC 62 (Microbial Biochemistry)

Expts. with several compds. active in vitro, using cultures of the Belfast AΒ and Manley strains of T. foetus, T. vaginalis, T. gallinae, and the S and ' H 11 strains of T. suis showed that all responded in essentially the same manner to the drugs. In vivo tests were carried out in hamsters, mice, rats, and monkeys. Compds. active in vitro but with low in vivo activity included tetramethylthiuram disulfide, 7-chloro-4,6-dimethoxy-6'benzylthio)-2'-methylspiro- [benzofuran-2(3H)] 1'-[2]cyclohexene-3,4'dione, 6-chloro-9-[(3-diethylaminopropyl)amino]-2-methoxyacridine, quinoxaline N,-N'-dioxide, 2-amino-7H-benzo[e]perimidin-7-one, 6-[(3-diethylaminopropyl)amino]-7H-benzo[e]perimidin-7-one, 4-(5-nitro-2-furyl)-2-(3-pyridyl)thiazole, 5-nitro-N-(2-oxazolidinon-3-yl)-2-furamidine, aminitriazole, 2-formamido-4-nitrothiazole, and 1,1'-pentamethylenebis(4-nitropyrazole). Those active in vivo included: acriflavine, furazolidone, Milibis, Penotrane, picric acid, AgNO3, Steresil, and the following acridine derivs.: 9-NH2, 2,6-di-NH2; 2-MeO, 9-NHCH(Me)(CH2)3NEt2; 2-NO2, 9-NH-(CH2)3NEt2; 2-NO2, 9-NH(CH2)3NEt2, 6-C1;

3-NO2, 9-NH-(CH2)3NEt2, 7-Cl, 5-Me; 2-NO2, 9-NH(CH2)3NEt2, 7-Me; 2,7-di-NO2, 9-NH(CH2)3NEt2; 3-NO2, 9-NHCH(Me)(CH2)3-NEt2. Trichomonas IT (chemotherapy of) Mercury, phenylmercury methylenedi-2-naphthalenesulfonate TT Penotran Steresil (Trichomonas response to) 144-87-6, Arsanilic acid, N-glycoloyl-TT (bismuth deriv., Trichomonas response to) 31154-87-7, 2-Naphthalenesulfonic acid, methylenedi-(phenylmercury deriv., Trichomonas response to) 67-45-8, 2-Oxazolidinone, 3-[(5-nitrofurfurylidene)amino]-ΙT 116-49-4, Bismuth, oxo(hydrogen N-glycoloylarsanilato)-Picric acid 137-26-8, Disulfide, bis(dimethylthiocarbamoyl) 2423-66-7, Quinoxaline, 2731-45-5, Pyridine, 3-[4-(5-nitro-2-furyl)-2-thiazolyl]-1,4-dioxide 4292-64-2, Acridine, 9-[[4-(diethylamino)-1-methylbutyl]amino]-2-methoxy-7761-88-8, Silver nitrate 22157-48-8, Acridine, 9-[[4-(diethylamino)-1methylbutyl]amino]-3-nitro- 23874-17-1, 7H-Benzo[e]perimidin-7-one, 65589-70-0, Acriflavine 67947-05-1, Acridine, 2-amino-6-chloro-9-[[3-(diethylamino)propyl]amino]-2-methoxy-89280-18-2, Formamide, N-(4-nitro-2-thiazolyl) - 91803-24-6, Acridine, 91969-20-9, Pyrazole, 1,1'-pentamethylenebis[4-nitro-2,6,9-triamino-92336-52-2, 2-Furamidine, 5-nitro-N-(2-oxo-3-oxazolidinyl)- 94578-10-6, Acridine, 9-[[3-(diethylamino)propyl]amino]-2,7-dinitro-94758-32-4, Acridine, 6-chloro-9-[[3-(diethylamino)propyl]amino]-2-nitro-94758-70-0, Acridine, 9-[[3-(diethylamino)propyl]amino]-2-nitro-95281-98-4, Acridine, 9-[[3-(diethylamino)propyl]amino]-2-methyl-7-nitro-96171-41-4, Acridine, 2-chloro-9-[[3-(diethylamino)propyl]amino]-4-methyl-96261-43-7, 7H-Benzo[e]perimidin-7-one, 6-[[3-(diethylamino)propyl]amino] - 104694-93-1, Spiro[benzofuran-2(3H),1'-[2]cyclohexene]-3,4'-dione, 6'-(benzylthio)-7-chloro-4,6-dimethoxy-2'-(Trichomonas response to) 67947-05-1, Acridine, 6-chloro-9-[[3-(diethylamino)propyl]amino]-2-TΤ (Trichomonas response to) RN 67947-05-1 HCAPLUS

(CA INDEX NAME)

CN

L73 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2003 ACS 1963:35499 HCAPLUS ΆN 58:35499 DN OREF 58:6101q-h Tumor-inhibiting activity of some 9-aminoacridines and related compounds TΙ Radzikowski, C.; Ledochowski, Z.; Ledochowski, A. ΑU SO. Acta, Unio Intern. Contra Cancrum (1962), 18, 222-4 DTJournal English LA CC 68 (Pharmacodynamics) A series of 60 acridines and related compds. (not listed) were screened AΒ for activity against sarcoma 180 in mice. Antitumor activity was present

1,3-Propanediamine, N'-(6-chloro-2-methoxy-9-acridiny1)-N,N-diethyl- (9CI)

in 7 of these, all of which were 9-acridyl derivs. of 4-dimethylaminobutylamine or 3-dimethyl- or 3-diethylpropylamine. Position 1 or 3 was generally substituted with Br and position 7 with MeO.

IT Sarcoma

(inhibitors of, 9-aminoacridines and related compds. as)

IT 1762-95-4, Ammonium thiocyanate 7783-20-2, Ammonium sulfate 12125-02-9, Ammonium chloride

(brain elec. activity response to)

- IT 5636-91-9, Acridine, 6-chloro-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-, dihydrochloride 23551-95-3, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride 23551-96-4, Acridine, 1-bromo-9-[[4-(dimethylamino)butyl]amino]-7-methoxy-, dihydrochloride 23551-97-5, Acridine, 6-bromo-9-[[4-(dimethylamino)butyl]amino]-2-methoxy-, dihydrochloride 23551-98-6, Acridine, 9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride 23551-99-7, Acridine, 3-bromo-9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride 98067-83-5, Acridine, 1-bromo-9-[[3-(diethylamino)propyl]amino]-7-methoxy-, dihydrochloride (sarcoma inhibition by)
- 23551-95-3, Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride 98067-83-5, Acridine, 1-bromo-9-[[3-(diethylamino)propyl]amino]-7-methoxy-, dihydrochloride (sarcoma inhibition by)

RN 23551-95-3 HCAPLUS

CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride (6CI, 7CI, 8CI) (CA INDEX NAME)

# ●2 HC1

RN 98067-83-5 HCAPLUS

CN Acridine, 1-bromo-9-[[3-(diethylamino)propyl]amino]-7-methoxy-, dihydrochloride (7CI) (CA INDEX NAME)

# ●2 HCl

L73 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2003 ACS

AN 1962:7649 HCAPLUS

DN 56:7649

OREF 56:1428d-f

TI Research of tumor-inhibiting compounds. IX. Synthesis of

(4-dimethylaminobutylamino) benzacridines and the relation between tumor-inhibiting activity and structure of some acridine and quinoline derivatives Ledochowski, Zygmunt; Ledochowski, Andrzej; Radzikowski, Czeslaw; ΑU Wysocka-Skrzela, Barbara; Konopa, Jerzy; Jurkiewicz, Zbigniewa Akad. Med., Gdansk, Pol. CS Roczniki Chem. (1961), 35, 899-905 SO Journal  $\mathsf{DT}$ Unavailable LA 31 (Heterocyclic Compounds-One Hetero Atom) CC 12-Chlorobenz[a]acridine (4 g.) in 15 g. PhOH was heated 4 hrs. at AΒ 100.degree. with 1.8 g. 4-dimethylaminobutylamine. After sepn. of acridone there was obtained (repeated crystn, from EtOH-Et.O) 27% 12-(4-dimethylaminobutylamino)benz[a]acridine hydrochloride (I) (m. 214-18.degree.). 7-(4-Dimethylaminobutylamino)benz[c]acridine (II) (m. 120-30.degree.) was obtained similarly. Both I and II were active against Crocker's sarcoma in mice. Results of biol. tests of other acridine or quinoline derivs. (all were inactive), prepd. previously (cf. ibid. 34, 953(1960)), were presented and discussed. Benz[a]acridino, 12-[[4-(dimethylamino)butyl]amino]-, dihydrochloride ΙT Benz[c]acridine, 7-[[4-(dimethylamino)butyl]amino]-, dihydrochloride ΙT 23551-98-6, Acridine, 9-[[4-(dimethylamino)butyl]amino]-, dihydrochloride (cancer-inhibiting activity of) ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2003 ACS L73 1961:44554 HCAPLUS AN DN 55:44554 OREF 55:8646d-e The action of some acridine derivatives on the growth of Crocker sarcoma ΤI Radzikowski, Czestaw; Nazarewicz, Teresa; Ledochowski, Zygmunt; AU Ledochowski, Andrzej; Borowski, Edward CS Med. Acad., Gdansk, Pol. Polish Med. Sci. Hist. (1960), 3, 154-9 SO DTJournal LA . Unavailable CC 11H (Biological Chemistry: Pharmacology) AΒ The inhibiting action of 32 acridine derivs. on Crocker sarcoma 180 was studied. The test mice received 3 mg. daily of the compd. for 10 days after the sarcoma implantation and were examd. on the 10 to 14th days. Two compds., 1-bromo-7-methoxy-9-(3-dimethylaminopropylamino)acridine-2HCl and 1-bromo-7-methoxy-9-(4-dimethylaminobutylamino)acridine-2HCl, showed definite inhibition of sarcoma growth (less than one-half the size in controls). Both compds. showed toxicity. Five other compds. showed activity which was variable; all had the diamine chain at position-9, 4 had the methoxy group at 7 with 2 having Br at 1 and 2 with Cl at position-3. Anticancer action may be an antimitotic effect and the toxic effect indicates cytotoxic action. ΙΤ Neoplasms (inhibitors of, acridine derivs. as) Methanesulfonic acid, compd. with quinacrine ΙT (as neoplasm inhibitor) TΤ 316-05-2, Quinacrine, dimethanesulfonate 970-09-2, Acridine, 1049-03-2, Acridine, 9-[(4-dimethylaminobutyl)amino]-1-bromo-9-[(4-dimethylaminobutyl)amino]-7-methoxy-1908-39-0, Acridine, 6-bromo-9-[(4-dimethylaminobutyl)amino]-2-methoxy-7703-17-5, Acridine, 6-chloro-9-[(4-dimethylaminobutyl)amino]-2-methoxy-15016-04-3, Acridine, 3-chloro-9-[(4-dimethylaminobutyl)amino]-15016-06-5, Acridine, 3-bromo-9-[(4-dimethylaminobutyl)amino]-22089-50-5, Acridine, 9-[(4-dimethylaminobutyl)amino]-2-methoxy-55915-26-9, Acridine, 6-bromo-9-[(2-dimethylaminoethyl)amino]-2-methoxy- 55915-27-0,

Acridine, 6-bromo-9-[(3-dimethylaminopropyl)amino]-2-methoxy-

55915-28-1, Acridine, 6-bromo-9-[(5-dimethylaminopentyl)amino]-2-methoxy-

55935-12-1, Acridine, 6-chloro-9-[(3-dimethylaminopropyl)amino]-2-93010-51-6, Acridine, 6-bromo-9-(2,2-dimethylhydrazino)-2methoxy- 94379-31-4, Acridine, 1-bromo-9-[(3-94804-71-4, Acridine, dimethylaminopropyl)amino]-7-methoxy-1-chloro-9-[(4-dimethylaminobutyl)amino]-7-methoxy-102559-53-5, Benz[c]acridine, 7-[(4-dimethylaminobutyl)amino]- 109559-05-9, Acridine, 1-bromo-9-[(2-dimethylaminoethyl)amino]-7-methoxy-111584-11-3, Acridine, 6-bromo-9-(p-dimethylaminoanilino)-2-methoxy-111584-67-9, Acridine, 1-bromo-9-[(5-dimethylaminopentyl)amino]-7-methoxy-111584-95-3, Acridine, 1-bromo-9-(p-dimethylaminoanilino)-7-methoxy-131590-08-4, Acridine, 1-bromo-9-(2,2-dimethylhydrazino)-7-methoxy-(as neoplasm inhibitor) ΙT 260-94-6, Acridine (derivs., as neoplasm inhibitors) 55915-27-0, Acridine, 6-bromo-9-[(3-dimethylaminopropyl)amino]-2-IT methoxy- 55935-12-1, Acridine, 6-chloro-9-[(3dimethylaminopropyl)amino]-2-methoxy- 94379-31-4, Acridine, 1-bromo-9-[(3-dimethylaminopropyl)amino]-7-methoxy-(as neoplasm inhibitor) 55915-27-0 HCAPLUS RN 1,3-Propanediamine, N'-(6-bromo-2-methoxy-9-acridinyl)-N,N-dimethyl- (9CI) CN (CA INDEX NAME)

RN 55935-12-1 HCAPLUS CN 1,3-Propanediamine, N'-(6-chloro-2-methoxy-9-acridinyl)-N,N-dimethyl-(9CI) (CA INDEX NAME)

RN 94379-31-4 HCAPLUS
CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy- (6CI, 7CI)
(CA INDEX NAME)

L73 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2003 ACS AN 1960:86484 HCAPLUS DN 54:86484

OREF 54:16452e-h

- TI Tumor inhibiting compounds. III. The synthesis of some derivatives of 1-bromo-7-methoxy-9-aminoacridine
- AU Ledochowski, Zygmunt; Ledochowski, Andrzej; Borowski, Edward; Radzikowski, Czeslaw; Morawski, Bohdan; Gawle, Kazimierz; Kozlowski, Edmund; Jakubowska, Lucja; Grabowska, Krystyna
- CS Politechnika, Gdansk, Pol.
- SO Roczniki Chem. (1960), 34, 53-62
- DT Journal
- LA English
- CC 10G (Organic Chemistry: Heterocyclic Compounds)
- cf. CA 54, 12140g. 2-Bromo-5-methoxybenzoic acid (231 g.), 190 g. AΒ m-bromoaniline, 138 g. anhyd. K2CO3, and 2 g. powd. Cu was boiled 2 hrs. in 700 ml. BuOH (I), the I distd. with steam, 5 l. boiling H2O added, and the whole filtered: Na2S (20 g.) and active C were added and the mixt. filtered. N-(3-Bromophenyl)-4-methoxyanthranilic acid (II) (m. 1945.degree., yield 71%) was pptd. by neutralization with 2.5% H2SO4. II (161 g.) was heated 2.5 hrs. to 140.degree. with 500 ml. POCl3 and the excess reagent distd. in vacuo. The residue was poured into 5 kg. ice-1 kg. concd. NH3, and extd. with CHCl3 to obtain 28% 1-bromo-7-methoxy-9chloroacridine (III), m. 184.degree.. III was treated with PhOH, cooled, and the HCl salt pptd. with Et2O. Addn. of 2.5N KOH gave 90% 1-bromo-7-methoxy-9-phenoxyacridine, m. 140-1.degree. The following HCl salts were obtained by condensation of III with N, N-dimethyldiamines (m.p. and % yield given): -HNNMe2 220.degree., 36; -HN(CH2)2NMe2, 220.5-1.5.degree., 22; -HN(CH2)3NMe2, 243.degree., 42; -HN(CH2)4NMe2, 239.5.degree., 45; -HN(CH2)5NMe2, 239.degree., 47; and -HNC6H4NMe2.2HCl, 218-20.degree. (decompn.), 37. Their tumor inhibiting activity was investigated on mice with Sarcoma Crockeri (Sa 180). Statistical data were given. For biol. details-see Patologia Polska 9, 331(1958).
- IT Neoplasms
  - (inhibitors of)
- 23551-95-3, Acridine, 1-bromo-9-[(3-dimethylaminopropyl)amino]-7-ITmethoxy-, dihydrochloride 23551-96-4, Acridine, 1-bromo-9-[(4dimethylaminobutyl)amino]-7-methoxy-, dihydrochloride 38135-48-7, 95618-14-7, Acridine, m-Anisic acid, 6-m-bromoanilino-1-bromo-9-[(2-dimethylaminoethyl)amino]-7-methoxy-, dihydrochloride 99061-34-4, Acridine, 1-bromo-9-[(5-dimethylaminopentyl)amino]-7-methoxy-, 100527-42-2, Acridine, 1-bromo-9-chloro-7-methoxydihydrochloride 102160-44-1, Acridine, 1-bromo-7-methoxy-9-phenoxy-111584-96-4, Acridine, 1-bromo-9-(p-dimethylaminoanilino)-7-methoxy-, dihydrochloride 132726-79-5, Acridine, 1-bromo-9-(2,2-dimethylhydrazino)-7-methoxy-, hydrochloride
  - (prepn. of)
- RN 23551-95-3 HCAPLUS
- CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride (6CI, 7CI, 8CI) (CA INDEX NAME)

# ●2 HCl

```
ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2003 ACS
AN
     1960:62739 HCAPLUS
DN
     54:62.739
OREF 54:12140i,12141a
     Tumour-inhibiting compounds. II. The synthesis of some
     3-bromo-7-methoxy-9-aminoacridine derivatives
     Ledochowski, Andrzej; Ledochowski, Zygmunt
ΑU
     Politech., Gdansk, Pol.
CS
SO
     Roczniki Chem. (1959), 33, 1299-305
     Journal
DT
LA
     English
     10G (Organic Chemistry: Heterocyclic Compounds)
CC
     The following N derivs. of 3-bromo-7-methoxy-9-aminoacridine have been
AB
     prepd. in the way analogous to the synthesis of atabrine by Magidson, et
     al. (C.A. 30, 15163) (N-substituent, m.p. and % yield, resp.): NMe2.HCl,
     212-13.degree., 65; (CH2)2N(Me)2.2HCl, 252.degree., 71; (CH2)3NMe2.2HCl,
     237.degree., 58; (CH2)4NMe2.2HCl, 233.degree., 65; (CH2)5Me2.2HCl,
     266.degree., 47; p-C6H4N(Me2).2HCl, - (decompd.), 23.
     Acridine, 9-amino-6-bromo-2-methoxy-
IT
        (derivs.)
ΙT
     6329-61-9, Isoquinoline, decahydro-
        (derivs.)
     23551-97-5, Acridine, 6-bromo-9-[(4-dimethylaminobutyl)amino]-2-methoxy-,
ΙT
     dihydrochloride 95428-49-2, Acridine, 6-bromo-9-[(3-
     dimethylaminopropyl)amino]-2-methoxy-, dihydrochloride
                                                               95618-15-8,
     Acridine, 6-bromo-9-[(2-dimethylaminoethyl)amino]-2-methoxy-,
                      99114-54-2, Acridine, 6-bromo-9-[(5-
     dihydrochloride
     dimethylaminopentyl)amino]-2-methoxy-, dihydrochloride
                                                               111584-12-4,
     Acridine, 6-bromo-9-(p-dimethylaminoanilino)-2-methoxy-, dihydrochloride
     131590-09-5, Acridine, 7-bromo-9-(2,2-dimethylhydrazino)-2-methoxy-
     132726-80-8, Acridine, 7-bromo-9-(2,2-dimethylhydrazino)-2-methoxy-,
     hydrochloride
        (prepn. of)
     93901-88-3, Ketone, decahydro-4a-hydroxy-2-methyl-4-isoquinolyl
ΙT
     p-methoxyphenyl
        (stereoisomers, and derivs.)
     95428-49-2, Acridine, 6-bromo-9-[(3-dimethylaminopropyl)amino]-2-
TT
     methoxy-, dihydrochloride
        (prepn. of)
RN
     95428-49-2 HCAPLUS
     Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-,
CN
     dihydrochloride (6CI, 7CI) (CA INDEX NAME)
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### ●2 HCl

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L73 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2003 ACS
   -1960:62738 HCAPLUS
     54:62738
DN
OREF 54:12140q-i
    Tumour-inhibiting compounds. I. The synthesis of some N, N-dimethyl-
     .alpha.,.omega.-diaminoalkanes
    Ledochowski, Zygmunt; Ledochowski, Andrzej; Chimiak, Andrzej; Dutkiewicz,
ΑÜ
    Barbara; Bogucka, Maria; Wysocka, Barbara; Sokolowska, Teresa;
    Wasielewski, Czeslaw; Stefaniak, Lech
CS
     Politech., Gdansk, Pol.
SO
    Roczniki Chem. (1959), 33, 1291-8
DΤ
    Journal
LA
CC
     10G (Organic Chemistry: Heterocyclic Compounds)
     3-Bromo-7-methoxy-9-aminoacridine N-derivs. were investigated. The side
AΒ
     chains to be attached of the general formula H2N(CH2)mNMe2 (I) with m = 2,
     3, 4, and 5 were prepd. Attempts to prepare I (m = 1) were unsuccessful.
    Only its deriv., C13CCONH CH2NMe3, 83.5-4.degree., was prepd. I (m = 2)
     and I (m = 3) (b. 133-3.5.degree., yield 66%) were obtained by redn. of
     the resp. nitriles with Na in EtOH or BuOH, resp. I (m = 5), b.
     182.degree., 11.5%, nD20 1.4500, was obtained by addn. of a satd. aq.
     soln. of .epsilon.-dimethylaminocaproamide to NaOBr soln., followed by
    heating to 70.degree., extn. with Et20, and distn. at low pressure.
ΙT
    Neoplasms
        (inhibitors of)
    Acridine, 9-amino-6-bromo-2-methoxy-
IT
    Acridine, 9-amino-6-bromo-2-methoxy-
        (derivs.)
     43192-52-5, Methanediamine, N,N-dimethyl-
IT
        (attempted prepn. of)
     108-00-9, Ethylenediamine, N,N-dimethyl- 109-55-7, 1,3-Propanediamine,
IT
                     3209-46-9, 1,5-Pentanediamine, N,N-dimethyl- 3529-10-0,
     N, N-dimethyl-
     1,4-Butanediamine, N,N-dimethyl-
                                       23551-97-5, Acridine,
     6-bromo-9-[(4-dimethylaminobutyl)amino]-2-methoxy-, dihydrochloride
     95428-49-2, Acridine, 6-bromo-9-[(3-dimethylaminopropyl)amino]-2-
    methoxy-, dihydrochloride
                                95618-15-8, Acridine, 6-bromo-9-[(2-
     dimethylaminoethyl)amino]-2-methoxy-, dihydrochloride
                                                             98070-95-2,
    Acetamide, 2,2,2-trichloro-N-(dimethylaminomethyl)-
                                                          99114-54-2,
     Acridine, 6-bromo-9-[(5-dimethylaminopentyl)amino]-2-methoxy-,
     dihydrochloride 131590-09-5, Acridine, 7-bromo-9-(2,2-dimethylhydrazino)-
                 132726-80-8, Acridine, 7-bromo-9-(2,2-dimethylhydrazino)-2-
     2-methoxy-
     methoxy-, hydrochloride
        (prepn. of)
     95428-49-2, Acridine, 6-bromo-9-[(3-dimethylaminopropyl)amino]-2-
ΙT
    methoxy-, dihydrochloride
        (prepn. of)
RN
     95428-49-2 HCAPLUS
     Acridine, 6-bromo-9-[[3-(dimethylamino)propyl]amino]-2-methoxy-,
CN
     dihydrochloride (6CI, 7CI) (CA INDEX NAME)
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#### ●2 HCl

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L75 ANSWER 1 OF 2 HCAOLD COPYRIGHT 2003 ACS

AN CA60:14471g CAOLD

TI tumor-inhibiting compds. - (XVIII) relation between antitumor activity and the chem. structure of some N-substituted acridones and thioacridones (1)

AU Ledochowski, Zygmunt; Wysocka-Skrzela, B.

IT **23552-04-7** 23552-11-6 23552-16-1 23552-17-2 96001-53-5 96712-06-0 98075-35-5 100213-31-8 100265-11-0

IT 23552-04-7

RN 23552-04-7 HCAOLD

CN Acridine, 9-[[3-(dimethylamino)propyl]amino]-1-methoxy-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

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L75 ANSWER 2 OF 2 HCAOLD COPYRIGHT 2003 ACS AN CA56:1428d CAOLD
```

TI tumor-inhibiting compds. - (IX) synthesis of (4-dimethylaminobutyl-amino)benzacridines and relation between tumor-inhibiting activity and structure of some acridine and quinoline derivs. and semiproducts for their synthesis

AU Ledochowski, Zygmunt; Ledochowski, A.; Radzikowski, C.; Wysocka-Skrzela, B.; Konopa, J.; Jurkiewicz, Z.

IT **23551-95-3** 23551-98-6 95949-45-4 96370-51-3 96868-08-5 101034-77-9

IT 23551-95-3

RN 23551-95-3 HCAOLD

CN Acridine, 1-bromo-9-[[3-(dimethylamino)propyl]amino]-7-methoxy-, dihydrochloride (6CI, 7CI, 8CI) (CA INDEX NAME)

●2 HCl

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L19

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              50 S L1
            2001 S L1 FUL
L3
                 SAV L3 KWON082/A
L4
                 STR L1
L5
               1 S L4 CSS SAM SUB=L3
L6
              13 S L4 CSS FUL SUB=L3
                 SAV L6 KWON082A/A
L7
               3 S L6 AND C20H25N3
Г8
            1794 S 2508.108.26/RID AND L3
L9
               3 S L8'AND L6 NOT L7
L10
               6 S L7, L9
                 STR L1
L11
L12
               9 S L11 CSS SAM SUB=L8
L13
             248 S L11 CSS FUL SUB=L8
                 SAV L13 KWON082B/A
                 STR L11
L14
L15
               8 S L14 CSS SAM SUB=L13
L16
             125 S L14 CSS FUL SUB=L13
                 SAV L16 KWON082C/A
L17
             119 S L16 NOT L10
     FILE 'HCAOLD' ENTERED AT 08:50:03 ON 05 MAY 2003
L18
               1 S L10
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17 S L17

# SEL AN EDIT /AN /OREF

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FILE 'HCAPLUS' ENTERED AT 08:51:22 ON 05 MAY 2003
L20
              33 S E1-E17
                 SEL DN 2 4 6 8 10 13 15 17 19 21 23 25 27 29 33
              18 S L20 NOT E18-E32
L21
                 SEL DN 11 18
              16 S L21 NOT E33-E34
L22
              56 S L10
L23
              0 S L22 AND L23
L24
              97 S L17
L25
            143 S. L23, L25
L26
                 E E VILLAR H/AU
                 E VILLAR H/AU
L27
             111 S E3, E5, E12, E14
                 E LABORDE E/AU
L28
              48 S E3-E7
                 E LA BORDE E/AU
                 E US20020169183/PN
L29
               1 S E3
                 E US2001-274535/AP, PRN
L30
               1 S E5
L31
               1 S L26 AND L27-L30
                 E TELIK/PA, CS
L32
              35 S E3-E9
L33
              1 S L26 AND L32
L34
               1 S L31, L33
                 E FAS/CT
                 E E4+ALL
L35
            5492 S E7,E6
                 E E21+ALL
L36
            3287 S E5,E4
                 E E15+ALL
L37
          49327 S E5, E4
                 E E3+ALL
L38
          55816 S E3-E7
L39
               1 S L26 AND L35-L38
                 E FAS/CW
L40
               1 S E3 AND L26
                 E HYPERPLAS/CT
L41
            737 S E4-E22
                 E E4+ALL
L42
            1166 S E2+NT
                 E AUTOIMMUN/CT
                 E E47+ALL
L43
            1631 S E2
                 E AUTOIMMUN/CT
                 E E8+ALL
L44
          24179 S E3, E2+NT
               1 S L26 AND L41-L44
L45
               1 S L34, L39, L40, L45
L46
L47
               2 S L26 AND ?HYPERPLAS?
L48
               1 S L26 AND ?AUTOIMMUN?
L49
               O S L26 AND ?AUTO IMMUN?
               3 S L26 AND ?IMMUN?
L50
               1 S L26 AND FAS
L51
               0 S L26 AND CD95
L52
L53
               1 S L26 AND ?APOPTO?
L54
               4 S L46-L48, L50, L51, L53
              67 S L26 AND (?NEOPLAS? OR ?TUMOR? OR ?TUMOUR? OR ?MALIGNAN? OR ?C
L55
                 E AUTOIMMUNE LYMPHOPROLIFERAT/CT
               LE LYMPHOPROLIFERAT/CT
```

```
E E6+ALL
          16195 S E5+NT
L56
                E AUTOIMMUNE THYROID/CT
                E E4+ALL
L57
           1153 S E2
                E HYPEREOSINOPHIL/CT
                E E4+ALL
                E E2+ALL
            783 S E3+NT
L58
                E THYROID DISEASE/CT
                E E4+ALL
                E E2+ALL
          18741 S E4, E5, E3+NT
L59
          27099 S E33+NT
L60
          25405 S AUTOIMMUN?(L)(LYMPH? OR THYROID?) OR ?EOSINOPHIL?
L61
              0 S L26 AND L56-L61
L62
              3 S L54 AND L55
L63
              4 S L54, L63
L64
     FILE 'REGISTRY' ENTERED AT 09:13:42 ON 05 MAY 2003
     FILE 'HCAPLUS' ENTERED AT 09:14:17 ON 05 MAY 2003
              1 S CHOTKOWSKA ?/AU AND 1972/PY AND (20 AND 289)/SO
L65
              1 S PIESTRZENIEWICZ ?/AU AND 1998/PY AND (53 AND 359)/SO
L66
              1 S RADZIKOWSKI ?/AU AND 1969/PY AND (17 AND 86)/SO
L67
              1 S RADZIKOWSKI ?/AU AND 1967/PY AND (2 AND 263)/SO
L68
L69
              1 S WYSOCKA SKRZELA ?/AU AND 1981/PY AND (55 AND 1735)/SO
L70
              1 S WO20000076982/PN
              6 S L65-L70 AND L20-L64
L71
             14 S L22 AND L26
L72
L73
             16 S L22, L72
              2 S L22 NOT L72
L74
     FILE 'HCAOLD' ENTERED AT 09:22:59 ON 05 MAY 2003
                SEL AN 6 13 L19
              2 S L19 AND E1-E2
L75
=> d his
     (FILE 'HOME' ENTERED AT 08:40:40 ON 05 MAY 2003)
                SET COST OFF
     FILE 'REGISTRY' ENTERED AT 08:40:55 ON 05 MAY 2003
L1
                STR
              50 S L1
L2
L3
           2001 S L1 FUL
                SAV L3 KWON082/A
L4
                STR L1
·L5
              1 S L4 CSS SAM SUB=L3
              13 S L4 CSS FUL SUB=L3
L6
                SAV L6 KWON082A/A
L7
               3 S L6 AND C20H25N3
           1794 S 2508.108.26/RID AND L3
L8
              3 S L8 AND L6 NOT L7
L9
L10
               6 S L7, L9
                STR L1
L11
              9 S L11 CSS SAM SUB=L8
L12
            248 S L11 CSS FUL SUB=L8
L13
                SAV L13 KWON082B/A
L14
                STR L11
              8 S L14 CSS SAM SUB=L13
L15
            125 S L14 CSS FUL SUB=L13
L16
```

SAV L16 KWON082C/A

```
119 S L16 NOT L10
L17
     FILE 'HCAOLD' ENTERED AT 08:50:03 ON 05 MAY 2003
L18
              1 S L10
             17 S L17
L19
                SEL AN
                EDIT /AN /OREF
     FILE 'HCAPLUS' ENTERED AT 08:51:22 ON 05 MAY 2003
L20
             33 S E1-E17
                SEL DN 2 4 6 8 10 13 15 17 19 21 23 25 27 29 33
L21
             18 S L20 NOT E18-E32
                SEL DN 11 18
             16 S L21 NOT E33-E34
L22
             56 S L10
L23
              0 S L22 AND L23
L24
             97 S L17
L25
L26
            143 S L23, L25
                E E VILLAR H/AU
                E VILLAR H/AU
            111 S E3, E5, E12, E14
L27
                E LABORDE E/AU
L28
             48 S E3-E7
                E LA BORDE E/AU
                E US20020169183/PN
L29
              1 S E3
                E US2001-274535/AP, PRN
L30
              1 S E5
              1 S L26 AND L27-L30
L31
                E TELIK/PA, CS
             35 S E3-E9
L32
              1 S L26 AND L32
L33
L34
              1 S L31, L33
                E FAS/CT
                E E4+ALL
           5492 S E7, E6
L35
                E E21+ALL
L36
           3287 S E5, E4
                E E15+ALL
L37
          49327 S E5,E4
                E E3+ALL
L38
          55816 S E3-E7
L39
              1 S L26 AND L35-L38
                E FAS/CW
              1 S E3 AND L26
L40
                E HYPERPLAS/CT
            737 S E4-E22
L41
                E E4+ALL
L42
           1166 S E2+NT
                E AUTOIMMUN/CT
                E E47+ALL
L43
           1631 S E2
                E AUTOIMMUN/CT
                E E8+ALL
          24179 S E3, E2+NT
L44
              1 S L26 AND L41-L44
L45
              1 S L34, L39, L40, L45
L46
              2 S L26 AND ?HYPERPLAS?
L47
              1 S L26 AND ?AUTOIMMUN?
L48
              0 S L26 AND ?AUTO IMMUN?
L49
L50
              3 S L26 AND ?IMMUN?
              1 S L26 AND FAS
L51
              0 S L26 AND CD95
L52
```

```
1 S L26 AND ?APOPTO?
L53
              4 S L46-L48, L50, L51, L53
L54
             67 S L26 AND (?NEOPLAS? OR ?TUMOR? OR ?TUMOUR? OR ?MALIGNAN? OR ?C
L55
                E AUTOIMMUNE LYMPHOPROLIFERAT/CT
                E LYMPHOPROLIFERAT/CT
                E E6+ALL
          16195 S E5+NT
L56
                E AUTOIMMUNE THYROID/CT
                E E4+ALL
L57
           1153 S E2
                E HYPEREOSINOPHIL/CT
                E E4+ALL
                E E2+ALL
L58
            783 S E3+NT
                E THYROID DISEASE/CT
                E E4+ALL
                E E2+ALL
          18741 S E4, E5, E3+NT
L60
          27099 S E33+NT
          25405 S AUTOIMMUN?(L)(LYMPH? OR THYROID?) OR ?EOSINOPHIL?
L61
L62
              0 S L26 AND L56-L61
L63
              3 S L54 AND L55
              4 S L54, L63
L64
     FILE 'REGISTRY' ENTERED AT 09:13:42 ON 05 MAY 2003
     FILE 'HCAPLUS' ENTERED AT 09:14:17 ON 05 MAY 2003
L65
              1 S CHOTKOWSKA ?/AU AND 1972/PY AND (20 AND 289)/SO
              1 S PIESTRZENIEWICZ ?/AU AND 1998/PY AND (53 AND 359)/SO
L66
              1 S RADZIKOWSKI ?/AU AND 1969/PY AND (17 AND 86)/SO
L67
              1 S RADZIKOWSKI ?/AU AND 1967/PY AND (2 AND 263)/SO
L68
              1 S WYSOCKA SKRZELA ?/AU AND 1981/PY AND (55 AND 1735)/SO
L69
L70
              1 S WO20000076982/PN
              6 S L65-L70 AND L20-L64
L71
             14 S L22 AND L26
L72
L73
             16 S L22, L72
              2 S L22 NOT L72
L74
     FILE 'HCAOLD' ENTERED AT 09:22:59 ON 05 MAY 2003
                SEL AN 6 13 L19
L75
              2 S L19 AND E1-E2
     FILE 'MEDLINE' ENTERED AT 09:24:18 ON 05 MAY 2003
L76
              5 S L10
L77
              0 S L17
           3155 S L8
L78
                E ACRIDINE/CT
                E E23+ALL
L79
          11577 S E4+NT
          11840 S L76, L78, L79
L80
                E AUTOIMMUN/CT
L81
             50 S E17+NT AND L80
L82
              0 S E117+NT AND L80
                E HYPERPLASIA/CT
L83
              3 S E3+NT AND L80
                E E3+ALL
L84
             27 S E7+NT AND L80
                E APOPTOSIS/CT
            130 S E3+NT AND L80
L85
                E FAS/CT
                E E4+ALL
              4 S E2+NT AND L80
L86
```

E AUTOIMMUNE THYROID/CT

			•
	•	E	E5+ALL
L87	0	Ş	E2+NT AND L80
		E	HYPEREOSINOPHIL/CT
L88	0	S	E5+NT AND L80
		Ε	AUTOIMMUNE LYMPH/CT
		E	LYMPHOPROLIFERAT/CT
L89	480		E8+NT AND L80
ТОЭ	400	J	EO INI AND BOO
L90	0	S	L76 AND L81-L89
L91	103	S	L78 AND L81-L89
L92	54	S	L91 NOT AB/FA
L93	49	S	L91 NOT L92
1170	• • • • • • • • • • • • • • • • • • • •	~	
	FILE 'REGI	STI	RY' ENTERED AT 09:44:03 ON 05 MAY 2003
L94	1	S	OUINACRINE/CN